(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
20 June 2002 (20.06.2002)

PCT

(10) International Publication Number
WO 02/48145 A1

(51) International Patent Classification[7]: C07D 471/04, A61K 31/437, A61P 25/16 // (C07D 471/04, 249:00, 221:00)

(21) International Application Number: PCT/EP01/14399

(22) International Filing Date: 7 December 2001 (07.12.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
00127567.6 15 December 2000 (15.12.2000) EP

(71) Applicant: F. HOFFMANN-LA ROCHE AG [CH/CH]; 124 Grenzacherstrasse, CH-4070 Basle (CH).

(72) Inventors: BRODBECK, Bernd; 62 Friedrichstrasse, 79585 Steinen-Hoesllstein (DE). NETTEKOVEN, Matthias, Heinrich; 10 Bandweg, 79639 Grenzach-Wyhlen (DE).

(74) Agent: POPPE, Regina; 124 Grenzacherstrasse, CH-4070 Basle (CH).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

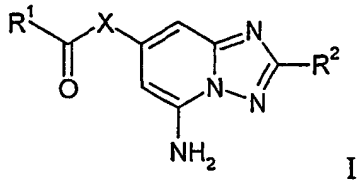
(54) Title: AMINOTRIAZOLOPYRIDIINE DERIVATIVES AS ADENOSINE RECEPTOR LIGANDS

(57) Abstract: The invention relates to compounds of the general formula (I) wherein R^1 is lower alkoxy, cycloalkyl or aryl, unsubstituted or substituted by halogen or lower alkoxy or is NR'R'', wherein R' and R'' are independently from each other hydrogen, lower alkyl, lower alkenyl, lower alkinyl, -$(CR_2)_n$-aryl unsubstituted or substituted by one to three substituents, selected from the group, consisting of halogen or lower alkoxy, or are $(CH_2)_{n+1}NR_2$, -$(CH_2)_n$-pyridinyl, -$(CH_2)_n$-indanyl, -$(CH_2)_n$-cycloalkyl, -$(CH_2)_n$-O-lower alkyl, -$(CH_2)_n$-C(O)-NR_2, -$(CH_2)_n$-CF_3, OR R' and R'' are together with the N atom to which they are attached pyrrolidin-1-yl, piperidin-1-yl, 3,4-dihydro-1H-isoquinolin-2-yl, morpholinyl, azatidin-1-yl, 3,6-dihydro-2H-pyridin-1-yl, thiomorpholinyl, 2,5-dihydro-pyrrol-1-yl, thiazolidin-3-yl, piperazinyl, azocan-1-yl, azepan-1-yl, octahydroquinolin-1-yl, octahydroquinolin-2-yl, 1,3,4,9-tetrahydro-b-carbolin-2-yl, which rings may be unsubstituted or substituted by one to three substituents, selected from the group, consisting of lower alkyl, phenyl, benzyl, pyridyl, -C(O)-NR_2, -$(CH_2)_n$-O-lower alkyl or NR-C(O)-lower alkyl; R^2 is aryl or a 5 or 6 membered heteroaryl group, which rings are unsubstituted or substituted by lower alkyl, halogen, hydroxy or lower alkoxy; X is a bond or N(R)CH_2-; R is hydrogen or lower alkyl; N is 0,1,2,3,4,5 or 6; and to their pharmaceutically acceptable salts. The compounds have a good affinity to the adenosin receptor and may therefore be used in the treatment of diseases, related to this receptor.

WO 02/48145 A1

AMINOTRIAZOLOPYRIDIINE DERIVATIVES AS ADENOSINE RECEPTOR LIGANDS

The present invention relates to compounds of the general formula



I

wherein

R^1 is lower alkoxy, cycloalkyl or aryl, unsubstituted or substituted by halogen or lower alkoxy,
or is –NR'R", wherein R' and R" are independently from each other
hydrogen, lower alkyl, lower alkenyl, lower alkinyl, $-(CR_2)_n$-aryl, unsubstituted or substituted by one to three substituents, selected from the group, consisting of halogen or lower alkoxy, or are $-(CH_2)_{n+1}NR_2$, $-(CH_2)_n$-pyridinyl, $-(CH_2)_n$-indanyl, $-(CH_2)_n$-cycloalkyl, $-(CH_2)_n$-O-lower alkyl, $-(CH_2)_n$-C(O)-NR_2, $-(CH_2)_n$-CF_3,
or
R' and R" are together with the N atom to which they are attached
pyrrolidin-1-yl, piperidin-1-yl, 3,4-dihydro-1H-isoquinolin-2-yl, morpholinyl, azatidin-1-yl, 3,6-dihydro-2H-pyridin-1-yl, thiomorpholinyl, 2,5-dihydro-pyrrol-1-yl, thiazolidin-3-yl, piperazinyl, azocan-1-yl, azepan-1-yl, octahydroquinolin-1-yl, octahydroquinolin-2-yl, 1,3,4,9-tetrahydro-b-carbolin-2-yl, which rings may be unsubstituted or substituted by one to three substituents, selected from the group, consisting of lower alkyl, phenyl, benzyl, pyridyl, $-C(O)-NR_2$, $-(CH_2)_n$-O-lower alkyl or –NR-(C(O)-lower alkyl;

R^2 is aryl or a 5 or 6 membered heteroaryl group, which rings are unsubstituted or substituted by lower alkyl, halogen, hydroxy or lower alkoxy;

X is a bond or $-N(R)CH_2-$;

R is hydrogen or lower alkyl;

n is 0, 1, 2, 3, 4, 5 or 6;

and to their pharmaceutically acceptable salts.

It has surprisingly been found that the compounds of general formula I are adenosine receptor ligands.

Adenosine modulates a wide range of physiological functions by interacting with specific cell surface receptors. The potential of adenosine receptors as drug targets was first reviewed in 1982. Adenosine is related both structurally and metabolically to the bioactive nucleotides adenosine triphosphate (ATP), adenosine diphosphate (ADP), adenosine monophosphate (AMP) and cyclic adenosine monophosphate (cAMP); to the biochemical methylating agent S-adenosyl-L-methione (SAM); and structurally to the coenzymes NAD, FAD and coenzym A; and to RNA. Together adenosine and these related compounds are important in the regulation of many aspects of cellular metabolism and in the modulation of different central nervous system activities.

The receptors for adenosine have been classified as A_1, A_{2A}, A_{2B} and A_3 receptors, belonging to the family of G protein-coupled receptors. Activation of adenosine receptors by adenosine initiates signal transduction mechanism. These mechanisms are dependent on the receptor associated G protein. Each of the adenosine receptor subtyps has been classically characterised by the adenylate cyclase effector system, which utilises cAMP as a second messenger. The A_1 and A_3 receptors, coupled with G_i proteins inhibit adenylate cyclase, leading to a decrease in cellular cAMP levels, while A_{2A} and A_{2B} receptors couple to G_s proteins and activate adenylate cyclase, leading to an increase in cellular cAMP levels. It is known that the A_1 receptor system include the activation of phospholipase C and modulation of both potassium and calcium ion channels. The A_3 subtype, in addition to its association with adenylate cyclase, also stimulates phospholipase C and so activates calcium ion channels.

The A_1 receptor (326-328 amino acids) was cloned from various species (canine, human, rat, dog, chick, bovine, guinea-pig) with 90–95% sequence identify among the mammalian species. The A_{2A} receptor (409-412 amino acids) was cloned from canine, rat, human, guinea pig and mouse. The A_{2B} receptor (332 amino acids) was cloned from human and mouse with 45% homology of human A_{2B} with human A_1 and A_{2A} receptors. The A_3 receptor (317-320 amino acids) was cloned from human, rat, dog, rabbit and sheep.

The A_1 and A_{2A} receptor subtypes are proposed to play complementary roles in adenosine's regulation of the energy supply. Adenosine, which is a metabolic product of ATP, diffuses from the cell and acts locally to activate adenosine receptors to decrease the

oxygen demand (A_1) or increase the oxygen supply (A_{2A}) and so reinstate the balance of energy supply versus demand within the tissue. The actions of both subtyps is to increase the amount of available oxygen to tissue and to protect cells against damage caused by a short term imbalance of oxygen. One of the important functions of endogenous adenosine is preventing damage during traumas such as hypoxia, ischaemia, hypotension and seizure activity.

Furthermore, it is known that the binding of the adenosine receptor agonist to mast cells expressing the rat A_3 receptor resulted in increased inositol triphosphate and intracellular calcium concentrations, which potentiated antigen induced secretion of inflammatory mediators. Therefore, the A_3 receptor plays a role in mediating asthmatic attacks and other allergic responses.

Adenosine is also a neuromodulator, possessing global importance in the modulation of molecular mechanisms underlying many aspects of physiological brain function by mediating central inhibitory effects. An increase in neurotransmitter release follows traumas such as hypoxia, ischaemia and seizures. These neurotransmitters are ultimately responsible for neural degeneration and neural death, which causes brain damage or death of the individual. The adenosine A_1 agonists which mimic the central inhibitory effects of adenosine may therefore be useful as neuroprotective agents. Adenosine has been proposed as an endogenous anticonvulsant agent, inhibiting glutamate release from excitory neurons and inhibiting neuronal firing. Adenosine agonists therefore may be used as antiepileptic agents. Adenosine antagonists stimulate the activity of the CNS and have proven to be effective as cognition enhancers. Selective A_{2a}-antagonists have therapeutic potential in the treatment of various forms of dementia, for example in Alzheimer's disease and are useful as neuroprotective agents. Adenosine A_2- receptor antagonists inhibit the release of dopamine from central synaptic terminals and reduce locomotor activity and consequently improve Parkinsonian symptoms. The central activities of adenosine are also implicated in the molecular mechanism underlying sedation, hypnosis, schizophrenia, anxiety, pain, respiration, depression and substance abuse. Drugs acting at adenosine receptors therefore have also therapeutic potential as sedatives, muscle relaxants, antipsychotics, anxiolytics, analgesics, respiratory stimulants and antidepressants.

An important role for adenosine in the cardiovascular system is as a cardioprotective agent. Levels of endogenous adenosine increase in response to ischaemia and hypoxia, and protect cardiac tissue during and after trauma (preconditioning). Adenosine agonists thus have potential as cardioprotective agents.

Adenosine modulates many aspects of renal function, including renin release, glomerular filtration rate and renal blood flow. Compounds, which antagonise the renal

affects of adenosine, have potential as renal protective agents. Furthermore, adenosine A_3 and/or A_{2B} antagonists may be useful in the treatment of asthma and other allergic responses.

Numerous documents describe the current knowledge on adenosine receptors, for example the following publications:

Bioorganic & Medicinal Chemistry, 6, (1998), 619-641,
Bioorganic & Medicinal Chemistry, 6, (1998), 707-719,
J. Med. Chem., (1998), 41, 2835-2845,
J. Med. Chem., (1998), 41, 3186-3201,
J. Med. Chem., (1998), 41, 2126-2133,
J. Med. Chem., (1999), 42, 706-721,
J. Med. Chem., (1996), 39, 1164-1171,
Arch. Pharm. Med. Chem., (1999), 332, 39-41.

Objects of the present invention are compounds of formula I and their pharmaceutically acceptable salts per se and as pharmaceutically active substances, their manufacture, medicaments based on a compound in accordance with the invention and their production as well as the use of compounds of formula I in the control or prevention of illnesses based on the modulation of the adenosine system, such as Alzheimer's disease, Parkinson's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, asthma, allergic responses, hypoxia, ischaemia, seizure and substance abuse. Furthermore, compounds of the present invention may be useful as sedatives, muscle relaxants, antipsychotics, antiepileptics, anticonvulsants and cardiaprotective agents.The most preferred indications in accordance with the present invention are those, which base on the A_{2A} receptor antagonistic activity and which include disorders of the central nervous system, for example the treatment or prevention of certain depressive disorders, neuroprotection and Parkinson's disease.

As used herein, the term "lower alkyl" denotes a saturated straight- or branched-chain alkyl group containing from 1 to 6 carbon atoms, for example, methyl, ethyl, propyl, isopropyl, n-butyl, i-butyl, 2-butyl, t-butyl and the like. Preferred lower alkyl groups are groups with 1 - 4 carbon atoms.

As used herein, the term "lower alkenyl" denotes an unsaturated straight- or branched-chain, containing 2 to 6 carbon atoms and at least one double bond, for example, ethylen, propylen, isopropylen, n-butylen, i-butylen, 2-butylen, t-butylen and the like. Preferred lower alkenyl groups are groups with 2 - 4 carbon atoms.

As used herein, the term "lower alkinyl" denotes an unsaturated straight- or branched-chain, containing from 2 to 6 carbon atoms and containing at least one triple bond.

The term "cycloalkyl" denotes a saturated carbocyclic group, containing 3 – 8 carbon atoms.

The term "halogen" denotes chlorine, iodine, fluorine and bromine.

The term "lower alkoxy" denotes a group wherein the alkyl residues is as defined above, and which is attached via an oxygen atom.

The term "5 or 6 membered heteroaryl group" denotes, for example furanyl, thiophenyl, pyrrolyl, thiazolyl or pyridinyl.

The term "aryl" denotes phenyl or naphthyl.

The term "pharmaceutically acceptable acid addition salts" embraces salts with inorganic and organic acids, such as hydrochloric acid, nitric acid, sulfuric acid, phosphoric acid, citric acid, formic acid, fumaric acid, maleic acid, acetic acid, succinic acid, tartaric acid, methane-sulfonic acid, p-toluenesulfonic acid and the like.

Compounds of formula I of the present invention, wherein X is a bond, are preferred.

Exemplarly preferred are compounds of formula I, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkyl, lower alkenyl, lower alkinyl, $-(CH_2)_n-C(O)-N(CH_3)_2$, $-(CH_2)_n-OCH_3$, $-(CH_2)_n$-cycloalkyl or $-(CH_2)_n$-pyridin-2-yl and R^2 is furyl or thiophenyl, unsubstituted or substituted by halogen or lower alkyl.

Examples of such compounds are

5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide,

5-amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide,

5-amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide,

5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide,

(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone

5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-

propyl-amide,
5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisopropylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-ethyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-pentyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-(2-pyridin-2-yl-ethyl)-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide,

5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-pyridin-2-yl-ethyl)-amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid diethylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylcarbamoylmethyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-methoxy-ethyl)-methyl-amide or
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-methoxy-ethyl)-amide.

Compound of formula I, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkyl, lower alkenyl, lower alkinyl, $-(CH_2)_n$-phenyl or $-(CH_2)_n$-pyridinyl and R^2 is thiazolyl are further preferred.

Such compounds are

5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide,

5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide or
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide.

Preferred are compounds of formula I, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidinyl, piperidinyl, morpholinyl, 3,6-dihydro-2H-pyridin-1-yl, 2,5-dihydro-pyrrol-1-yl, azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, lower alkoxy, $-C(O)NH_2$, $-C(O)N(CH_3)_2$, $-N(CH_3)-C(O)-CH_3$ and R^2 is furyl unsubstituted or substituted by halogen.

Examples of such compounds are

[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-morpholin-4-yl-methanone,
[5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,6-dihydro-2H-pyridin-1-yl)-methanone,
[5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dihydro-pyrrol-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-2,5-dihydro-pyrrol-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,6-dimethyl-morpholin-4-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-methyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-azocan-1-yl-methanone,

[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,5-dimethyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-[(2R,5R)-trans-2,5-dimethyl-pyrrolidin-1-yl]-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(cis-2,6-dimethyl-morpholin-4-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(S-2-methoxymethyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(R-2-methoxymethyl-pyrrolidin-1-yl)-methanone,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-L-pyrrolidine-2-carboxylic acid amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-D-pyrrolidine-2-carboxylic acid amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-pyrrolidine-2-carboxylic acid dimethylamide,
N-{1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-pyrrolidin-3-yl}-N-methyl-acetamide,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(5-ethyl-2-methyl-piperidin-1-yl)-methanone or
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid amide.

Compounds of formula I, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidinyl, piperidinyl, octahydroquinolin-1-yl, 2,5-dihydro-pyrrol-1-yl, thiazolidinyl, thiazolyl, azepan-1-yl or azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, and R^2 is thiazolyl, are also preferred, for example the followings:

(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dihydro-pyrrol-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-thiazolidin-3-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,

(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-methyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,6-dimethyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(cis-2,6-dimethyl-piperidin-1-yl)-methanone or
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(octahydro-quinolin-1-yl)-methanone.

Further preferred are compounds of formula I, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidin-1-yl, azepan-1-yl, piperidin-1-yl, azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, lower alkoxy and R^2 is pyridyl.

Examples of such compounds are:

(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone or
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-R-2-methoxymethyl-pyrrolidin-1-yl)-methanone.

Compounds of formula I, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkenyl, lower alkyl, -$(CH_2)_n$-cycloalkyl, -$(CH_2)_n$-pyridinyl or -$(CH_2)_n$-phenyl and R^2 is pyridyl are further preferred, for example the followings:

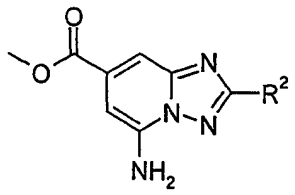
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-

amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-yl-methyl-amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide or
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide.

Further preferred are compounds of formula I, wherein X is $-N(R)CH_2-$ and R^1 is cycloalkyl or aryl, unsubstituted or substituted by halogen and R^2 is furyl, unsubstituted or substituted by halogen or methyl, or is thiazolyl.

The present compounds of formula I and their pharmaceutically acceptable salts can be prepared by methods known in the art, for example, by processes described below, which process comprises

a) reacting a compound of formula

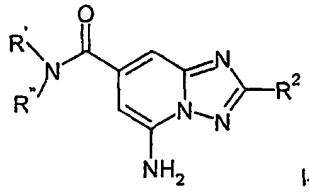


I-1

with a compound of formula

HNR'R" II

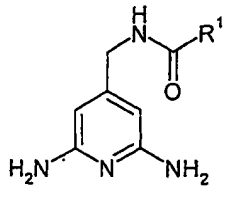
to a compound of formula



I-2

wherein R^1, R^2 and R' and R" have the significances given above, or

b) reacting a compound of formula

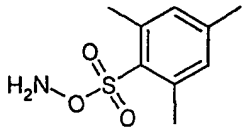


III

with a compound of formula

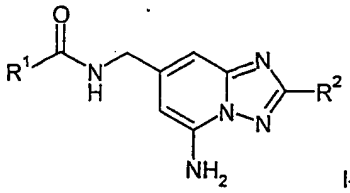
R^2CHO V

in the presence of a compound of formula



IV

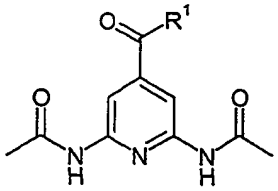
to give a compound of formula



I-3

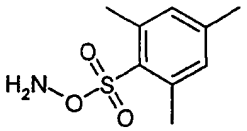
wherein R^1 and R^2 are defined above, or

c) reacting a compound of formula



VI

with HCl and then with a compound of formula

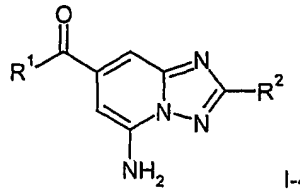


IV

and with a compound of formula

R^2CHO V

to a compound of formula



I-4

wherein R^1 and R^2 have the significances given above

or

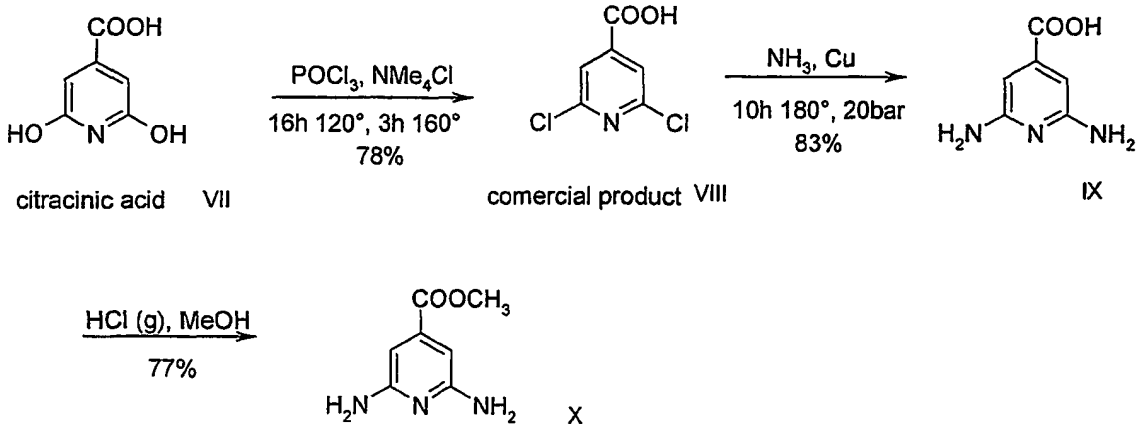
d) modifying one or more substituents R^1 or R^2 within the definitions given above,

and

if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts.

In Examples 1 - 435 and in the following schemes 1 and 2 the preparation of compounds of formula I is described in more detail.

Scheme 1



citracinic acid VII

comercial product VIII

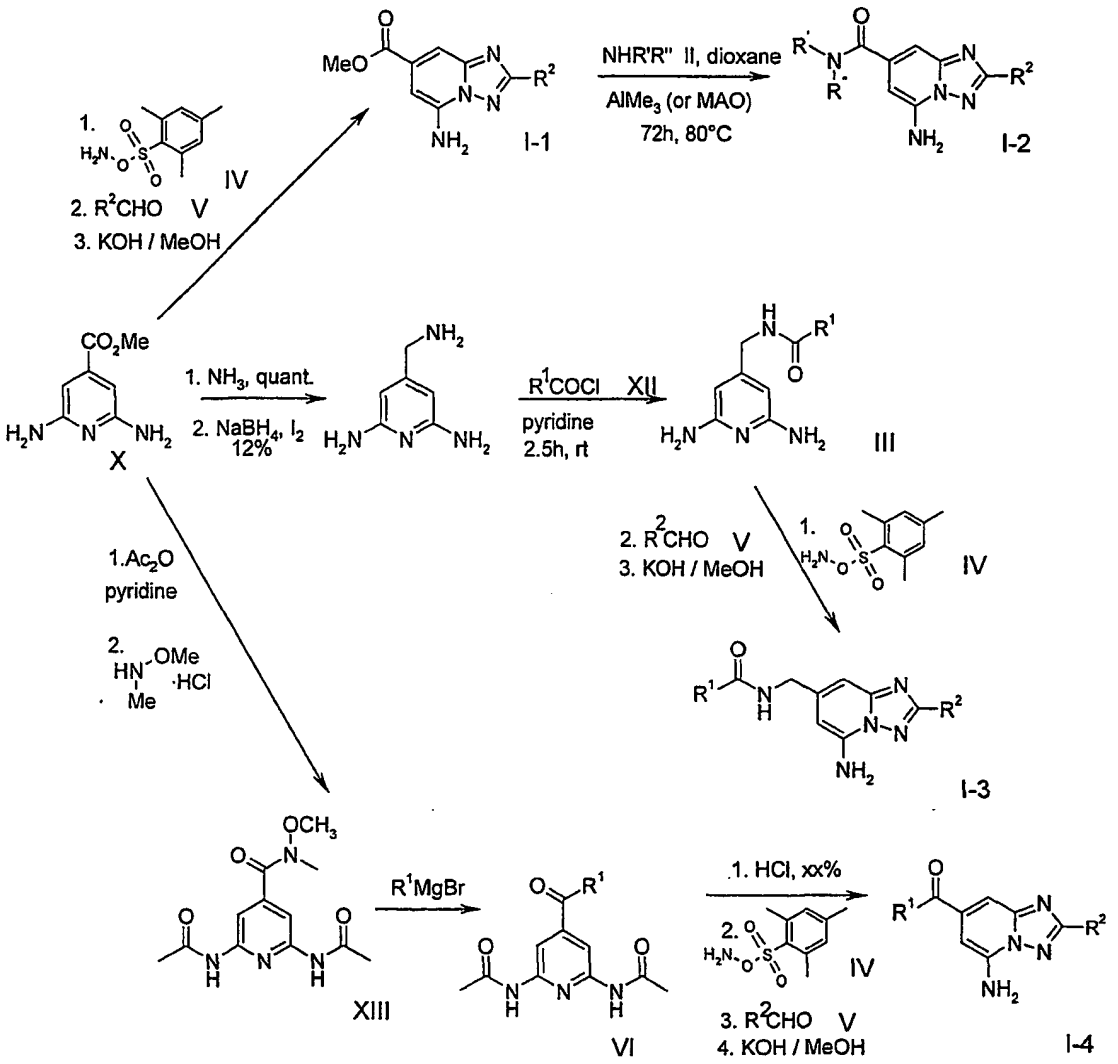
IX

X

Scheme 1 describes the process for preparation of 2,6-diamino-isonicotinic acid methyl ester (X), which is the starting material for further processes to obtain a compound of formula I. In accordance with scheme 1, 2,6-dichloroisonicotinic acid (commercial product, VIII) is mixed with copper powder in aqueous ammonia, and the mixture is heated for about 12 hours in an autoclave. After cooling to room temperature the copper was filtered off and the filtrat is treated with HCl to pH=5. The obtained 2,6-diamino-isonicotinic acid (IX) is solved in methanol and treated at 0 °C with gaseous HCl. The mixture is concentrated, dissolved in water and saturated $NaHCO_3$ is added to pH=8. The

2,6-diamino-isonicotinic acid methyl ester (X) is obtained after extraction with ethylacetate.

Scheme 2



The substituents R^1 and R^2 have the significances given above.

In accordance with scheme 2 compounds of formulas I-1, I-2, I-3 and I-4 are obtained. Compounds of formula I, wherein R^1 is methoxy (I-1), may be prepared as follows: To a solution of 2,6-diamino-isonicotinic acid methyl ester (X) in dioxane is added O-mesitylenesulfonylhydroxylamine (IV) and a corresponding aldehyde (V). The mixture is stirred for some hours at about 100 °C. After addition of KOH in methanol the solution is stirred at room temperature and then the product is concentrated.

The obtained compound of formula I-1 may further be transformed into a compound of formula I-2. To a solution of a compound of formula II in dioxane is added trimethylaluminium or methylaluminoxane and stirred for about 1 hour at room

temperature. Then a mixture of a compound of formula I-1 in dioxane is added and the mixture is heated at about 80 °C for 72 hours. After addition of HCl the compound of formula I-2 is obtained.

A compound of formula I-3 may be prepared as follows: A solution of 2,6-diamino-isonicotinic acid methyl ester (X) is treated for 1 hour with gaseous ammonia. The mixture is heated for 36 hours at about 60 °C in an autoclave and is then filtered through decalite. The obtained 2,6-diamino-isonicotinamide is suspended in THF and boran-dimethylsulfide-complex (or $NaBH_4$) is added. The mixture is refluxed for 4 days. After cooling to room temperature HCl is added and the mixture is neutralized with NaOH, to give 4-aminomethyl-pyridine-2,6-diamine. A solution of this compound in pyridine is treated with a compound of formula XII together with a catalytic amount of 4-dimethylaminopyridine, and stirred for 2.5 hours at room temperature to obtain a compound of formula III. Furthermore, to the obtained solution of a compound of formula III in dioxane is added O-mesitylenesulfonylhydroxylamine and then an aldehyde of formula V. The mixture is heated to about 100 °C and after 2.5 hours KOH in methanol is added. After stirring the mixture at room temperature a compound of formula I-3 is obtained.

Compounds of formula I-4 may be prepared as follows: A mixture of 2,6-diamino-isonicotinic acid methyl ester (X), pyridine and acetic anhydride is stirred for 1 hour at room temperature and subsequently 1 hour at about 80 °C. After purification the prepared 2,6-bis-acetylamino-isonicotinic acid methyl ester is solved in pyridine and is added slowly to a mixture of N,O-dimethylhydroxylamide and trimethylaluminium in toluene and is then allow to stirr to room temperature. After purification a compound of formula XIII is obtained. Further, to a solution of 2,6-bis-acetylamino-N-methoxy-N-methyl-isonicotinamide (XIII) is added at room temperature a solution of a compound of formula R^1MgBr, for example 4-fluorophenylmagnesium bromide, in THF. The solution is stirred at room temperature and subsequently for 2 hours at about 40 °C. After cooling to room temperature HCl is added and the mixture is evapoarated to dryness. After purification a compound of formula VI is obtained. This compound is solved in dioxane and O-mesitylenesulfonylhydroxylamine and a compound of formula V, for example 5-bromo-2-furaldehyde, is added. The mixture is stirred at about 80 °C for 30 min, and after the addition of KOH the mixture is stirred at room temperature for some hours. After purification of the mixture a compound of formula I-4 is obtained.

The salt formation is effected at room temperatures in accordance with methods which are known per se and which are familiar to any person skilled in the art. Not only salts with inorganic acids, but also salts with organic acids came into consideration.

Hydrochlorides, hydrobromides, sulphates, nitrates, citrate, acetates, maleates, succinates, methan-sulphonates, p-toluenesulphonates and the like are examples of such salts.

The compounds of formula I and their pharmaceutically usable addition salts possess valuable pharmacological properties. Specifically, it has been found that the compounds of the present invention are adenosine receptor ligands.

The compounds were investigated in accordance with the tests given hereinafter.

Human adenosine A_{2A} receptor

The human adenosine A_{2A} receptor was recombinantly expressed in chinese hamster ovary (CHO) cells using the semliki forest virus expression system. Cells were harvested, washed twice by centrifugation, homogenised and again washed by centrifugation. The final washed membrane pellet was suspended in a Tris (50 mM) buffer containing 120 mM NaCl, 5 mM KCl, 2 mM $CaCl_2$ and 10 mM $MgCl_2$ (pH 7.4) (buffer A). The [^{3}H]-SCH-58261 (Dionisotti et al., 1997, Br. J. Pharmacol. 121, 353) binding assay was carried out in 96-well plates in the presence of 2.5 µg of membrane protein, 0.5 mg of Ysi-poly-l-lysine SPA beads and 0.1 U adenosine deaminase in a final volume of 200 µl of buffer A. Non-specific binding was defined using xanthine amine congener (XAC; 2 µM). Compounds were tested at 10 concentrations from 10 µM - 0.3 nM. All assays were conducted in duplicate and repeated at least two times. Assay plates were incubated for 1hour at room temperature before centrifugation and then bound ligand determined using a Packard Topcount scintillation counter. IC_{50} values were calculated using a non-linear curve fitting program and Ki values calculated using the Cheng-Prussoff equation.

In accordance with the invention, it has been shown that compounds of formula I have a high affinity toward the A_{2A} receptor. In the table below are described specific values of prepared compounds.

The compounds of formula I and the pharmaceutically acceptable salts of the compounds of formula I can be used as medicaments, e.g. in the form of pharmaceutical preparations. The pharmaceutical preparations can be administered orally, e.g. in the form of tablets, coated tablets, dragées, hard and soft gelatine capsules, solutions, emulsions or suspensions. The administration can, however, also be effected rectally, e.g. in the form of suppositories, parenterally, e.g. in the form of injection solutions.

The compounds of formula I can be processed with pharmaceutically inert, inorganic or organic carriers for the production of pharmaceutical preparations. Lactose, corn starch or derivatives thereof, talc, stearic acids or its salts and the like can be used, for example, as such carriers for tablets, coated tablets, dragées and hard gelatine capsules. Suitable carriers

for soft gelatine capsules are, for example, vegetable oils, waxes, fats, semi-solid and liquid polyols and the like. Depending on the nature of the active substance no carriers are, however, usually required in the case of soft gelatine capsules. Suitable carriers for the production of solutions and syrups are, for example, water, polyols, glycerol, vegetable oil and the like. Suitable carriers for suppositories are, for example, natural or hardened oils, waxes, fats, semi-liquid or liquid polyols and the like.

The pharmaceutical preparations can, moreover, contain preservatives, solubilizers, stabilizers, wetting agents, emulsifiers, sweeteners, colorants, flavorants, salts for varying the osmotic pressure, buffers, masking agents or antioxidants. They can also contain still other therapeutically valuable substances.

Medicaments containing a compound of formula I or a pharmaceutically acceptable salt thereof and a therapeutically inert carrier are also an object of the present invention, as is a process for their production, which comprises bringing one or more compounds of formula I and/or pharmaceutically acceptable acid addition salts and, if desired, one or more other therapeutically valuable substances into a galenical administration form together with one or more therapeutically inert carriers.

In accordance with the invention compounds of formula I as well as their pharmaceutically acceptable salts are useful in the control or prevention of illnesses based on the adenosine receptor antagonistic activity, such as Alzheimer's disease, Parkinson's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, asthma, allergic responses, hypoxia, ischaemia, seizure and substance abuse. Furthermore, compounds of the present invention may be useful as sedatives, muscle relaxants, antipsychotics, antiepileptics, anticonvulsants and cardiaprotective agents and for the production of corresponding medicaments.

The most preferred indications in accordance with the present invention are those, which include disorders of the central nervous system, for example the treatment or prevention of certain depressive disorders, neuroprotection and Parkinson's disease.

The dosage can vary within wide limits and will, of course, have to be adjusted to the individual requirements in each particular case. In the case of oral administration the dosage for adults can vary from about 0.01 mg to about 1000 mg per day of a compound of general formula I or of the corresponding amount of a pharmaceutically acceptable salt thereof. The daily dosage may be administered as single dose or in divided doses and, in addition, the upper limit can also be exceeded when this is found to be indicated.

Tablet Formulation (Wet Granulation)

Item	Ingredients	mg/tablet			
		5 mg	25 mg	100 mg	500 mg
1.	Compound of formula I	5	25	100	500
2.	Lactose Anhydrous DTG	125	105	30	150
3.	Sta-Rx 1500	6	6	6	30
4.	Microcrystalline Cellulose	30	30	30	150
5.	Magnesium Stearate	1	1	1	1
	Total	167	167	167	831

Manufacturing Procedure

1. Mix items 1, 2, 3 and 4 and granulate with purified water.
2. Dry the granules at 50°C.
3. Pass the granules through suitable milling equipment.
4. Add item 5 and mix for three minutes; compress on a suitable press.

Capsule Formulation

Item	Ingredients	mg/capsule			
		5 mg	25 mg	100 mg	500 mg
1.	Compound of formula I	5	25	100	500
2.	Hydrous Lactose	159	123	148	---
3.	Corn Starch	25	35	40	70
4.	Talc	10	15	10	25
5.	Magnesium Stearate	1	2	2	5
	Total	200	200	300	600

Manufacturing Procedure

1. Mix items 1, 2 and 3 in a suitable mixer for 30 minutes.
2. Add items 4 and 5 and mix for 3 minutes.
3. Fill into a suitable capsule.

Example 1

2,6-Diamino-isonicotinic acid

A mixture of 20 g (0.1 mol) 2,6-dichloro isonicotinic acid and 2 g (30 mmol) copper powder in 300 ml aqueous ammonia (~30%) was heated for 12 h to 180 °C in an autoclave (20 bar). After cooling to room temperature the copper was filtered of and the filtrate was treated with 1N HCl to pH = 5. The precipitate was filtered and purified by repeated dissolving in aqueous ammonia (25%) and subsequent precipitation with 1N HCl. Filtration and drying in HV yielded 13.2 g (83%) 2,6-diamino-isonicotinic acid as a brown solid.

1-H-NMR (400Mhz, DMSO-d6): δ= 7.23 (s, br, 1H, COOH), 6.10 (s, 2H, Ar-H), 5.64 (s, br, 4H, NH_2).

MS m/e (%): 153 ($M+H^+$, 100).

Example 2

2,6-Diamino-isonicotinic acid methyl ester

A suspension of 11 g (70 mmol) 2,6-diamino-isonicotinic acid in 270 ml methanol was treated at 0° C for 2 h with gaseous HCl. The mixture was concentrated, the residue was dissolved in water and saturated $NaHCO_3$ was added to pH = 8. Exhaustive extraction with ethylacetate, drying of the combined organic phases with $MgSO_4$ and removal of the volatiles yielded 9.3 g (77%) 2,6-diamino-isonicotinic acid methyl ester as yellow solid.

1-H-NMR (400MHz, DMSO-d6): δ= 6.11 (s, 2H, Ar-H), 5.69 (s, 4H, NH_2), 3.77 (s, 3H, CH_3).

MS m/e (%): 167 ($M+H^+$, 100).

Elemental analysis: calculated C 50.30, H 5.43, N 25.14

found C 50.27, H 5.26, N 24.11

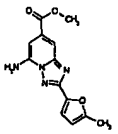
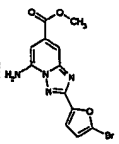
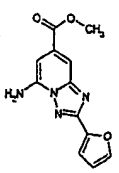
Example 3 (general procedure)

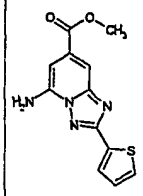
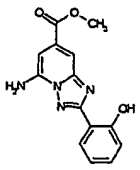
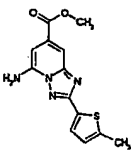
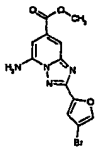
5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester

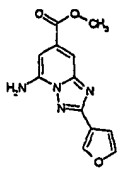
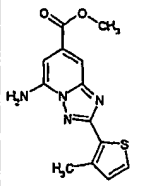
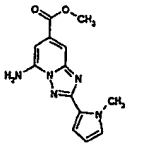
To a solution of 1 g (5.98 mmol) 2,6-diamino-isonicotinic acid methyl ether in 50 ml dioxane at room temperatue was added 1.41 g (6.58 mmol, 1.1 eq.) O-mesitylenesulfonylhydroxylamine and after 2 h 0.824 g (7.77 mmol, 1.3 eq.) benzaldehyde and stirred for 3 h at 100 °C. After the addition of 6 ml 1N KOH in methanol the mixture was stirred at room temperature for 12 h and concentrated. The residue was

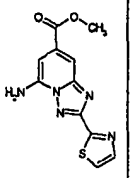
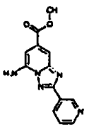
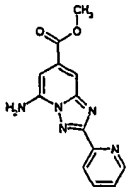
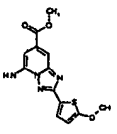
taken up in 50 ml water followed by extraction with dichloromethane, drying of the combined organic layers with $MgSO_4$, and removal of the volatile components. The residue was purified by column chromatography on silica eluting with a gradient of dichloromethane : ethylacetate 10:1 -> 5:1. 0.8 g (50 %) of the title compound were isolated as brownish solid.

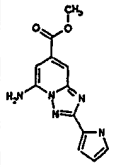
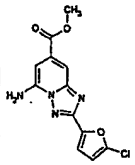
According to example 3 triazolopyridine methylester derivatives have been synthesised. The results are compiled in the following list comprising example 4 to example 19

No	Structure	Yield (%)	MW MS m/e (%)	Composition Calc. / found	NMR-data
4		50	272.2 $(M+H)^+$ (100)	C 57.35 / 57.46 H 4.44 / 4.61 N 20.58 / 20.08	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.38 (s, 3H, 8-H, NH_2), 7.08 (s, 1H, Furanyl (3-H)), 6.73 (s, 1H, 6-H)), 6.34 (s, 1H, Furanyl (4-H)), 3.89 (s, 3H, OCH_3), 2.40 (s, 3H, Furanyl (CH_3))
5		27	337.1 $(M+H)^+$ (100)	C 42.75 / 42.86 H 2.69 / 2.99 N16.62 / 16.12 Br 23.70 / 24.79	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.45 (s, br, 2H, NH_2), 7.42 (s, 1H, 8-H), 7.23 (d, J= 2 Hz, 1H, Furanyl (3-H)), 6.85 (d, J= 2 Hz, 1H, Furanyl (4-H)), 6.76 (s, 1H, 6-H), 3.90 (s, 3H, OCH_3)
6		43	258.239 $(M+H)^+$ (100)	C 55.81 / 55.70 H 3.90 / 4.37 N 21.70 / 19.91	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.93 (s, 1H, Furanyl (3-H), 7.42 (s, 1H, 8-H), 7.40 (s, 2H, NH_2), 7.19 (s, 1H, Furanyl (5-H)), 6.75 (s, 1H, 6-H), 6.72 (s, 1H, Furanyl (4-H)), 3.90 (s, 3H, OCH_3)

No	Structure	Yield (%)	MW MS m/e (%)	Composition Calc. / found	NMR-data
7		32	274.303 $(M+H)^+$ (100)	C 52.55 / 52.84 H 3.67/ 3.83 N 20.43 / 19.57 S 11.69 / 11.92	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.84 (d, J= 1 Hz, 1H, Thiophenyl (3-H)), 7.75 (d, J= 4 Hz, 1H, Thiophenyl (5-H), 7.42 (s, 1H, 8-H), 7.34 (s, 2H, NH_2), 7.25 (m, 1H, Thiophenyl (4-H)), 6.75 (s, 1H, 6-H), 3.90 (s, 3H, OCH_3)
8		18	284.277 $(M+H)^+$ (100)	C 59.15 / 60.07 H 4.26 / 4.40 N 19.71 / 18.26	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 11.1 (s, 1H, OH), 8.20 (d, J= 8 Hz, 1H, Ph (6-H)), 7.64 (s, br, 2H, NH_2), 7.51 (s, 1H, 8-H), 7.41 (t, J= 8 Hz, 1H, Ph (5-H)), 7.03 (m, 2H, Ph (3-H, 4-H)), 6.83 (s, 1H, 6-H), 3.91 (s, 3H, OCH_3)
9		49	288.33 $(M+H)^+$ (100)	C 54.16 / 53.77 H 4.20 / 4.29 N 19.43 / 18.85 S 11.12 / 11.08	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.64 (d, J= 3.6 Hz, 1H, Thiophenyl (3-H)), 7.39 (s, 1H, 8-H), 7.30 (s, br, 2H, NH_2), 6.93 (d, J= 3.6 Hz, 1H, Thiophenyl (4-H)), 6.73 (s, 1H, 6-H), 3.89 (s, 3H, OCH_3)
10		24	337.14 $(M+H)^+$ (100)	C 42.75 / 42.88 H 2.69 / 2.93 N 16.62 / 16.15 Br 23.70 / 23.67	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 8.19 (s, 1H, Furanyl (3-H)), 7.44 (s, br, 3H, NH_2, 8-H), 7.34 (s, 1H, Furanyl (5-H)), 6.77 (s, 1H, 6-H), 3.90 (s, 3H, OCH_3)

No	Structure	Yield (%)	MW MS m/e (%)	Composition Calc. / found	NMR-data
11		51	258.239 $(M+H)^+$ (100)	C 55.81 / 55.89 H 3.90 / 4.04 N 21.70 / 21.20	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 8.38 (s, 1H, Furanyl (2-H)), 7.87 (s, 1H, Furanyl (4-H)), 7.41 (s, 1H, 8-H), 7.32 (s, br, 2H, NH_2), 7.02 (s, 1H, Furanyl (5-H)), 6.73 (s, 1H, 6-H), 3.90 (s, 3H, OCH_3)
12		43	288.33 $(M+H)^+$ (100)	C 54.16 / 54.42 H 4.20 / 4.33 N 19.43 / 18.82 S 11.12 / 11.23	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.61 (d, J= 5.2 Hz, 1H, Thiophenyl (5-H)), 7.42 (s, 1H, 8-H), 7.30 (s, br, 2H, NH_2), 7.07 (d, J= 5.2 Hz, 1H, Thiophenyl (4-H)), 6.74 (s, 1H, 6-H), 3.90 (s, 3H, OCH_3)
13		28	271.281 $(M+H)^+$ (100)	C 57.56 / 57.59 H 4.83 / 5.02 N 25.82 / 25.53	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 7.39 (s, 1H, 8-H), 7.29 (s, br, 2H, NH_2), 6.98 (s, 1H, Pyrrolyl (3-H)), 6.84 (s, 1H, Pyrrolyl (5-H)), 6.71 (s, 1H, 6-H), 6.14 (m, 1H, Pyrrolyl (4-H)), 4.08 (s, 3H, NCH_3), 3.89 (s, 3H, OCH_3)

No	Structure	Yield (%)	MW MS m/e (%)	Composition Calc. / found	NMR-data
14		51	275.291 $(M+H)^+$ (100)	C 47.99 / 48.27 H 3.30 / 3.51 N 25.44 / 24.49 S 11.65 / 10.69	*1-H-NMR (400 MHz, DMSO-d_6):* δ= 8.09 (d, J= 2.8 Hz, 1H, Thiazolyl (5-H)), 8.01 (d, J= 2.8 Hz, 1H, Thiazolyl (4-H)), 7.51 (s, br, 2H, NH_2), 7.50 (s, 1H, 8-H), 6.81 (s, 1H, 6-H), 3.91 (s, 3H, OCH_3)
15			269.265 $(M+H)^+$ (100)		
16			269.265 $(M+H)^+$ (100)		*1-H-NMR (250 MHz, DMSO-d_6):* δ= 8.75 (d, J = 4.2 Hz, 1H, pyridine 6-H), 8.31 (d, J= 7.8 Hz, 1H, pyridine 3-H), 8.01 (t, J = 7.8 Hz, 1H, pyridine 4-H), 7.56 (t, J =4.2 Hz, 1H, pyridine 5-H), 7.50 (d, J = 1.5 Hz, 1H, 4-H), 7.46 (s, br, 2H, NH_2), 6.78 (d, J = 1.5 Hz, 1H,6-H), 3.91 (s, 3H, OCH_3)
17			304.33 $(M+H)^+$ (100)		*1-H-NMR (250 MHz, DMSO-d_6):* δ= 7.81 (d, J = 4.3 Hz, 1H, thiophene 3-H), 7.35 (d, J = 1.7 Hz, 1H, 4-H), 7.28 (s, br, 2H, NH_2), 6.71 (d, J = 1.7 Hz, 1H, 6-H), 6.59 (d, J = 4.3 Hz, 1H, thiophene 4-H), 3.91 (s, 3H, OCH_3)

No	Structure	Yield (%)	MW MS m/e (%)	Composition Calc. / found	NMR-data
18			257.254 $(M+H)^+$ (100)		
19			292.684 $(M+H)^+$ (100)		*1-H-NMR (250 MHz, DMSO-d_6)*: δ= 7.45 (s, br, 2H, NH_2), 7.41 (s, 1H, H-4), 7.28 (d, J = 3.6 Hz, 1H, furyl 3-H), 6.76 (m, 2H, 6-H / thiophene 3-H), 3.90 (s, 3H, OCH_3)

Example No.	Name
4	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
5	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
6	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
7	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
8	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
9	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester

10	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
11	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
12	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
13	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
14	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
15	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
16	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
17	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
18	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester
19	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester

Example 20

5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide

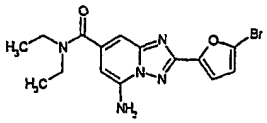
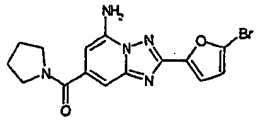
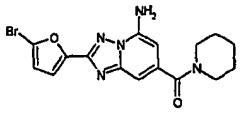
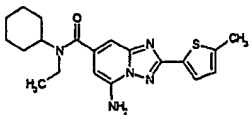
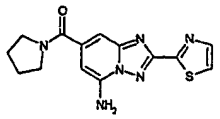
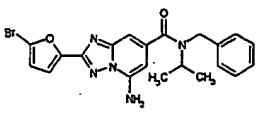
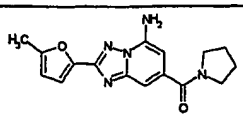
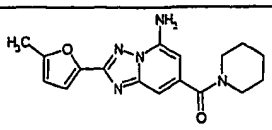
To a solution of 35 mg (0.44 mmol) diethylamine in 0.5 ml dioxane was added 0.5 ml methylaluminoxane (10 % in toluene) (in a variant trimethylaluminium was used instead of methylaluminoxane which proofed to give comparable results) and stirred for 1 h at room temperature. 31 mg (0.11 mmol) 5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl ester in 1 ml dioxane was added

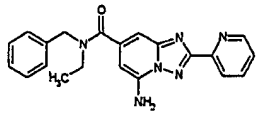
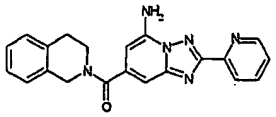
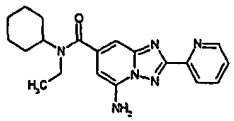
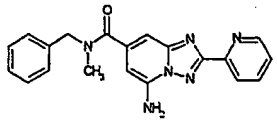
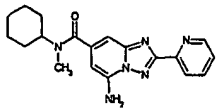
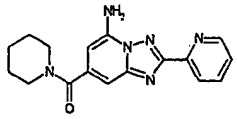
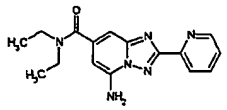
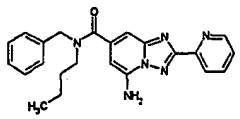
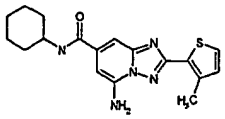
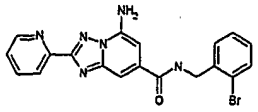
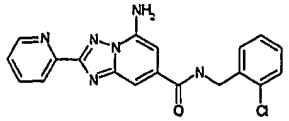
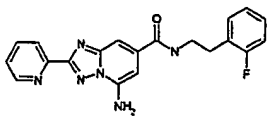
and the mixture was heated to 80 °C for 72 h. After addition of 0.4 ml 1N HCl the mixture was evaporated to dryness and the residue was taken up in 1.5 ml DMSO, filtered, and the title compound was isolated by reversed phase HPLC eluting with a water / acetonitrile gradient to yield 8.6 mg (25 %).

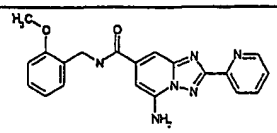
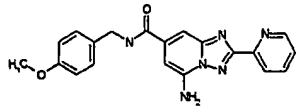
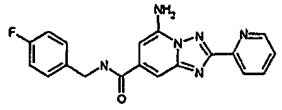
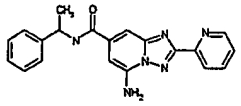
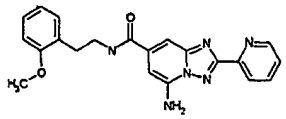
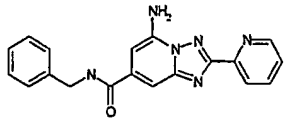
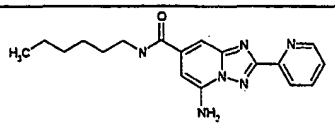
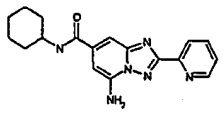
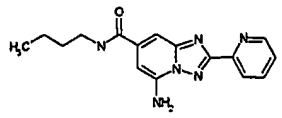
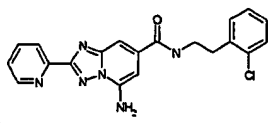
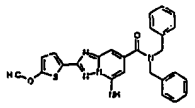
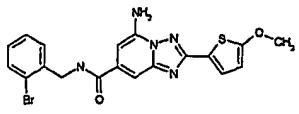
1-H-NMR (500 MHz, DMSO): δ= 7.24 (s, 2H, NH_2), 7.03 (d, J= 3 Hz, 1H, Furanyl (3-H)), 6.79 (s, 1H, 8-H), 6.32 (d, J= 3 Hz, 1H, Furanyl (4-H)), 6.09 (s, 1H, 6-H), 2.39 (s, 3H, CH_3), 1.15 (m, 3H, $NCH_2\underline{C}H_3$), 1.08 (m, 3H, $NCH_2\underline{C}H_3$), signal for NCH_2 under DMSO signal.

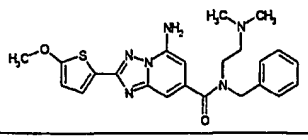
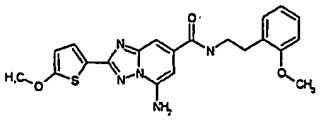
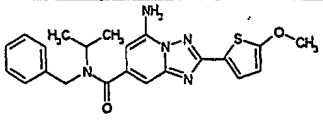
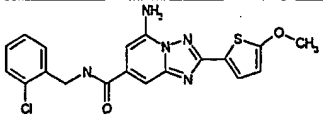
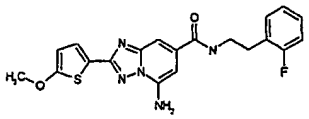
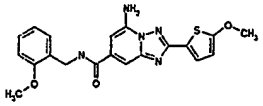
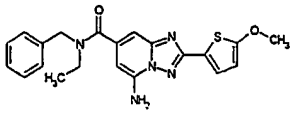
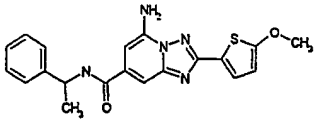
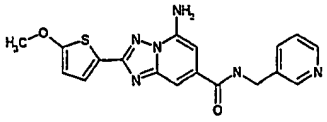
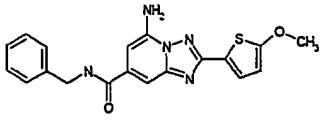
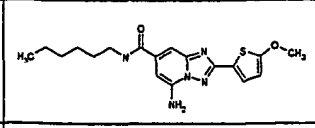
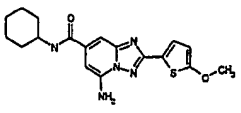
MS m/e (%): 313 (M^+, 100)

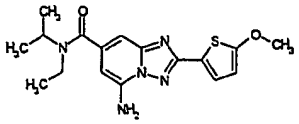
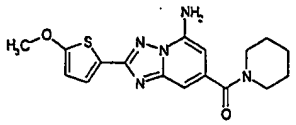
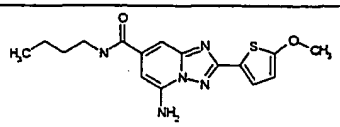
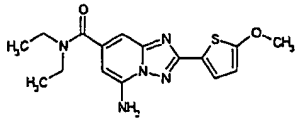
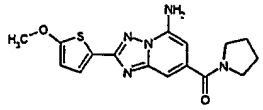
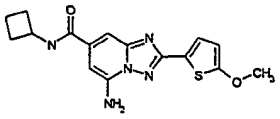
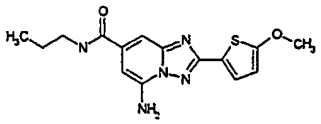
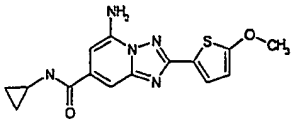
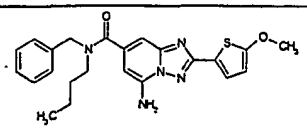
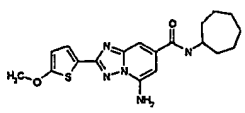
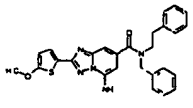
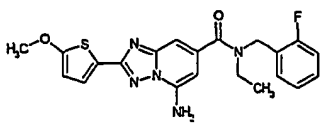
According to example 20 triazolopyridine carboxamide derivatives have been synthesised. The results are compiled in the following list comprising example 21 to example 233.

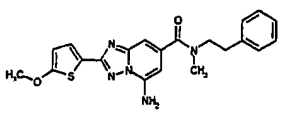
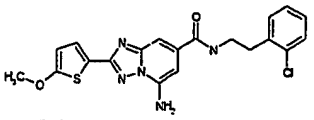
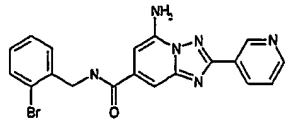
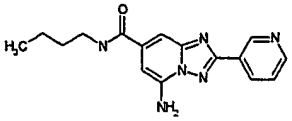
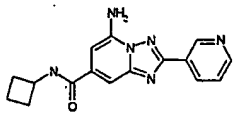
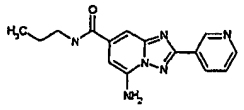
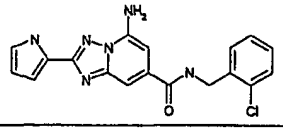
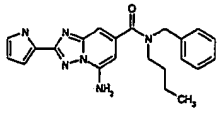
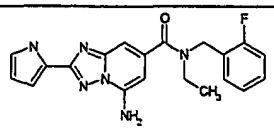
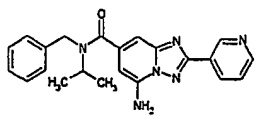
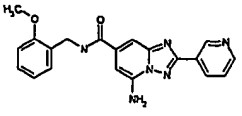
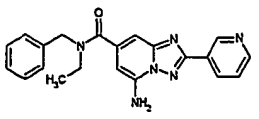
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
21	3.4	232.1	68.0		329.4	MH^+ (100)
22	8.8	548.1	62.0		376.2	MH^+ (100)
23	5.8	275.6	47.9		390.2	MH^+ (100)
24	8.9	247.3	27.8		383.5	MH^+ (100)
25	50.4	3100.3	61.5		314.4	MH^+ (100)
26	2.3	30.1	13.0		454.3	MH^+ (100)
27	16.8	940.3	56.0		311.3	MH^+ (100)
28	14.2	696.1	49.1		325.4	MH^+ (100)

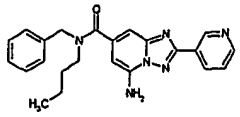
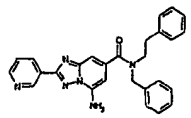
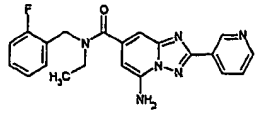
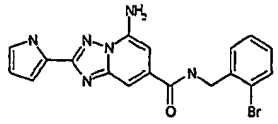
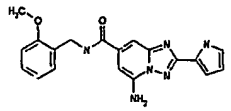
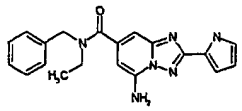
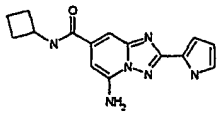
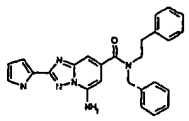
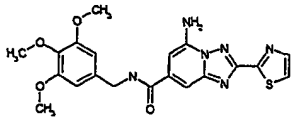
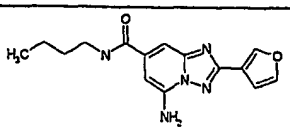
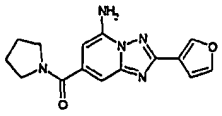
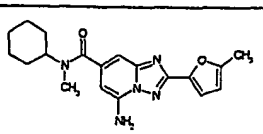
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
29	15.9	243.6	15.3		372.4	MH^+ (100)
30	211.7	2138.3	10.1		370.4	MH^+ (100)
31	49.6	1126.6	22.7		364.5	MH^+ (100)
32	28.6	288.0	10.1		358.4	MH^+ (100)
33	87.0	1173.1	13.5		350.4	MH^+ (100)
34	153.9	5977.2	38.8		322.4	MH^+ (100)
35	106.1	2917.2	27.5		310.4	MH^+ (100)
36	13.1	199.2	15.2		400.5	MH^+ (100)
37	374.6		0.0		355.5	MH^+ (100)
38	23.8	108.9	4.6		423.3	MH^+ (100)
39	16.8	69.8	4.2		378.8	MH^+ (100)
40	49.9	204.2	4.1		376.4	MH^+ (100)

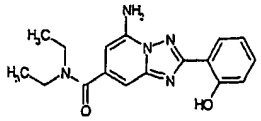
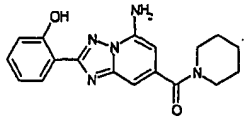
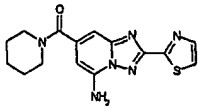
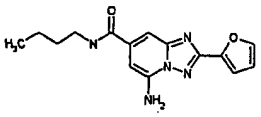
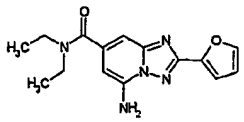
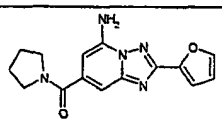
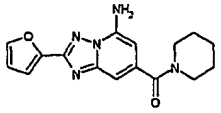
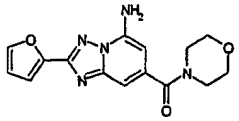
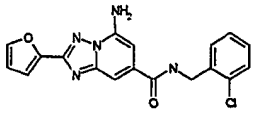
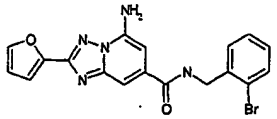
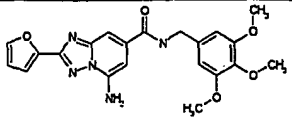
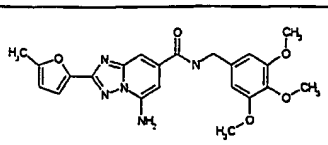
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
41	21.8	88.1	4.0		374.4	MH^+ (100)
42	341.1		0.0		374.4	MH^+ (100)
43	87.7	203.3	2.3		362.4	MH^+ (100)
44	44.5	120.4	2.7		358.4	MH^+ (100)
45	53.5	127.6	2.4		388.4	MH^+ (100)
46	61.1	184.0	3.0		344.4	MH^+ (100)
47	37.5	316.2	8.4		338.4	MH^+ (100)
48	62.1	365.9	5.9		336.4	MH^+ (100)
49	34.3	242.1	7.1		310.4	MH^+ (100)
50	118.9	123.2	1.0		392.9	MH^+ (100)
51	22.2	129.1	5.8		469.6	MH^+ (100)
52	108.1	85.7	0.8		458.3	MH^+ (100)

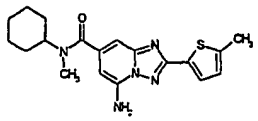
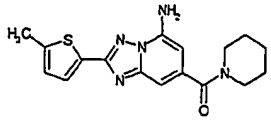
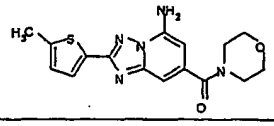
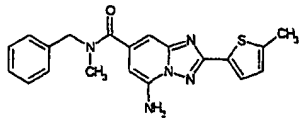
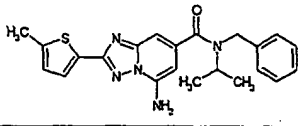
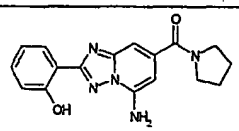
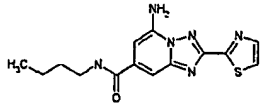
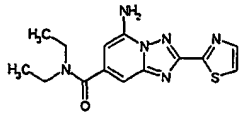
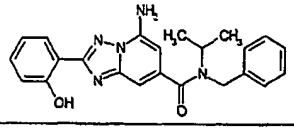
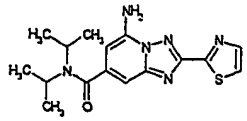
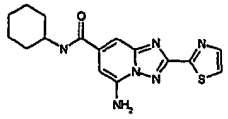
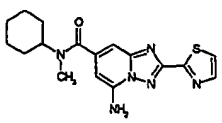
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
53	326.8		0.0		450.6	MH^+ (100)
54	314.7		0.0		423.5	MH^+ (100)
55	28.3	76.4	2.7		421.5	MH^+ (100)
56	82.0	86.3	1.1		413.9	MH^+ (100)
57	337.4		0.0		411.5	MH^+ (100)
58	71.9	52.7	0.7		409.5	MH^+ (100)
59	42.9	106.4	2.5		407.5	MH^+ (100)
60	391.7		0.0		393.5	MH^+ (100)
61	333.1		0.0		380.4	MH^+ (100)
62	175.5	113.0	0.6		379.4	MH^+ (100)
63	181.3	247.0	1.4		373.5	MH^+ (100)
64	227.0	222.8	1.0		371.5	MH^+ (100)

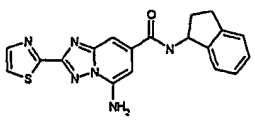
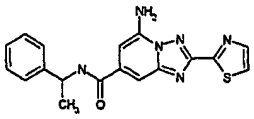
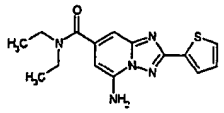
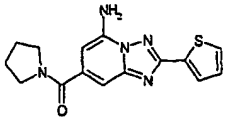
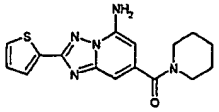
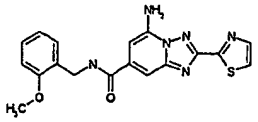
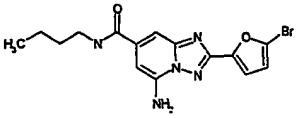
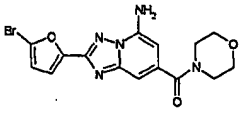
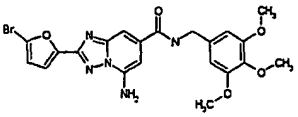
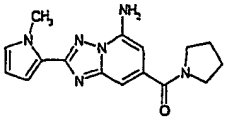
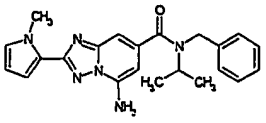
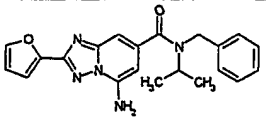
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
65	51.5	210.7	4.1		359.5	MH^+ (100)
66	173.7	622.9	3.6		357.4	MH^+ (100)
67	99.1	135.6	1.4		345.4	MH^+ (100)
68	171.2	716.9	4.2		345.4	MH^+ (100)
69	338.9		0.0		343.4	MH^+ (100)
70	112.6	148.3	1.3		343.4	MH^+ (100)
71	64.9	180.3	2.8		331.4	MH^+ (100)
72	260.2		0.0		329.4	MH^+ (100)
73	37.4	136.2	3.6		435.6	MH^+ (100)
74	366.8		0.0		385.5	MH^+ (100)
75	26.6	15.8	0.6		483.6	MH^+ (100)
76	28.5		0.0		425.5	MH^+ (100)

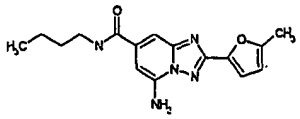
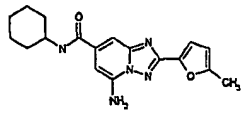
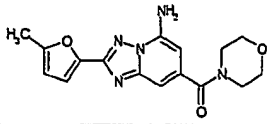
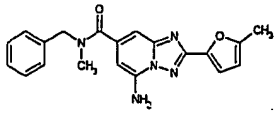
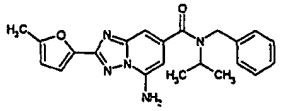
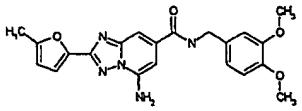
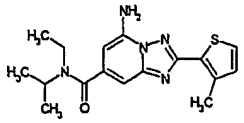
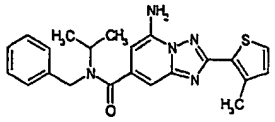
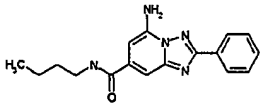
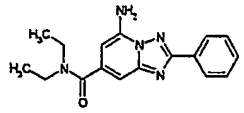
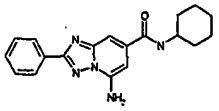
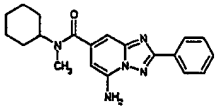
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
77	116.1	294.8	2.5		407.5	MH^+ (100)
78	388.7	97.4	0.3		427.9	MH^+ (100)
79	152.1	1399.7	9.2		423.3	MH^+ (100)
80	282.1	3773.8	13.4		310.4	MH^+ (100)
81	315.0	4391.4	13.9		308.3	MH^+ (100)
82	308.7	5846.9	18.9		296.3	MH^+ (100)
83	129.7	1499.0	11.6		366.8	MH^+ (100)
84	293.9	4962.4	16.9		388.5	MH^+ (100)
85	168.7	1399.7	8.3		378.4	MH^+ (100)
86	252.4	819.3	3.2		386.5	MH^+ (100)
87	239.6	1256.9	5.2		374.4	MH^+ (100)
88	277.8	993.1	3.6		372.4	MH^+ (100)

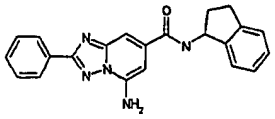
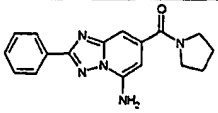
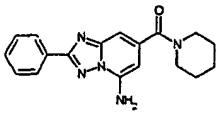
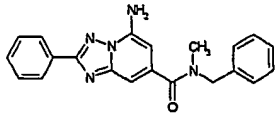
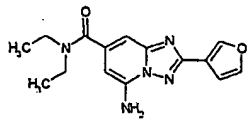
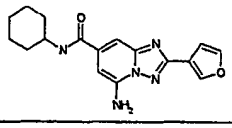
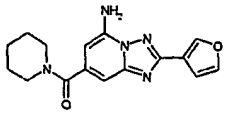
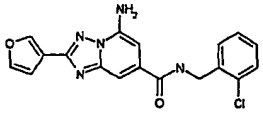
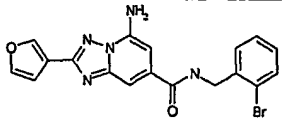
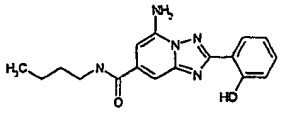
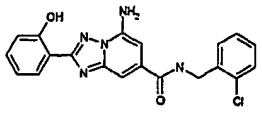
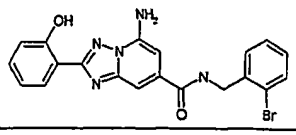
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
89	174.0	900.0	5.2		400.5	MH^+ (100)
90	144.1	239.3	1.7		448.5	MH^+ (100)
91	144.1	815.0	5.7		390.4	MH^+ (100)
92	293.1		0.0		411.3	MH^+ (100)
93	304.2	4860.0	16.0		362.4	MH^+ (100)
94	395.4		0.0		360.4	MH^+ (100)
95	392.2		0.0		296.3	MH^+ (100)
96	216.7	729.0	3.4		436.5	MH^+ (100)
97	234.8	2560.3	10.9		440.5	MH^+ (100)
98	50.5	766.6	15.2		299.3	MH^+ (100)
99	232.8	6095.2	26.2		297.3	MH^+ (100)
100	15.5	528.8	34.1		353.4	MH^+ (100)

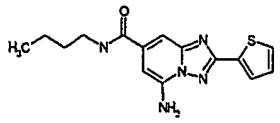
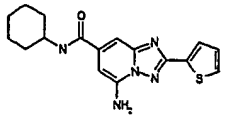
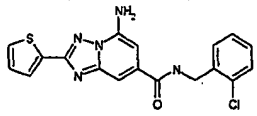
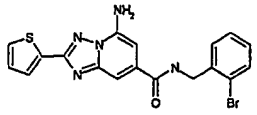
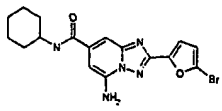
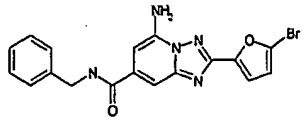
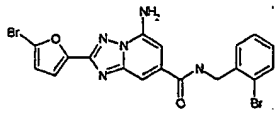
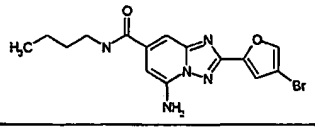
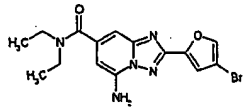
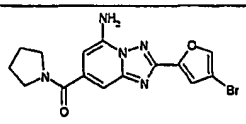
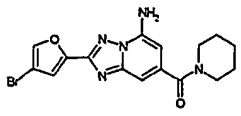
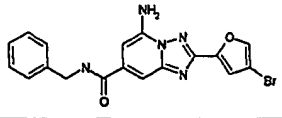
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
101	33.3	701.4	21.1		325.4	MH^+ (100)
102	57.6	977.6	17.0		337.4	MH^+ (100)
103	100.5	3525.5	35.1		328.4	MH^+ (100)
104	4.9	223.4	46.0		299.3	MH^+ (100)
105	50.9	2383.4	46.9		299.3	MH^+ (100)
106	11.4	1731.7	151.3		297.3	MH^+ (100)
107	27.0	2718.6	100.6		311.3	MH^+ (100)
108	299.2	6200.7	20.7		313.3	MH^+ (100)
109	2.9	58.7	20.2		367.8	MH^+ (100)
110	2.6	64.2	24.5		412.3	MH^+ (100)
111	45.2	1222.8	27.1		423.4	MH^+ (100)
112	146.7	2436.2	16.6		437.5	MH^+ (100)

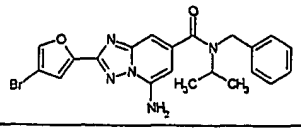
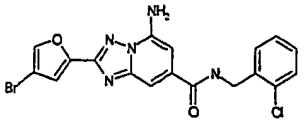
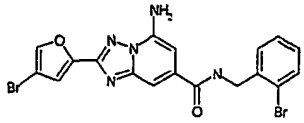
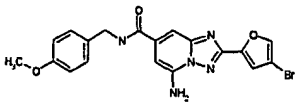
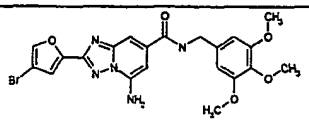
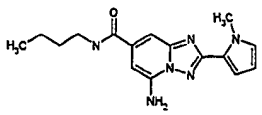
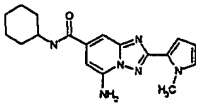
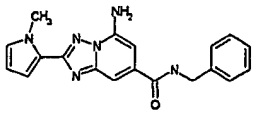
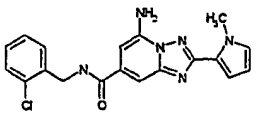
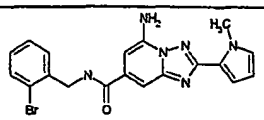
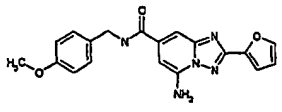
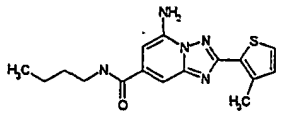
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
113	17.2	306.6	17.8		369.5	MH^+ (100)
114	28.3	772.1	27.3		341.4	MH^+ (100)
115	221.8	2917.2	13.2		343.4	MH^+ (100)
116	15.3	169.8	11.1		377.5	MH^+ (100)
117	9.2	177.5	19.2		405.5	MH^+ (100)
118	126.5	1964.5	15.5		323.4	MH^+ (100)
119	17.1	428.6	25.0		316.4	MH^+ (100)
120	77.4	2740.3	35.4		316.4	MH^+ (100)
121	17.0	204.5	12.0		401.5	MH^+ (100)
122	317.4	3317.6	10.5		344.4	MH^+ (100)
123	43.5	698.3	16.0		342.4	MH^+ (100)
124	99.2	1508.3	15.2		356.5	MH^+ (100)

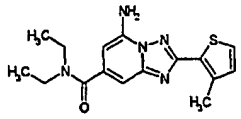
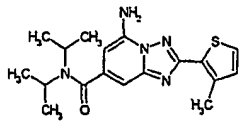
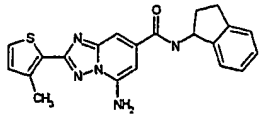
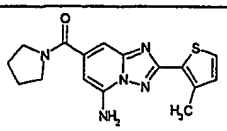
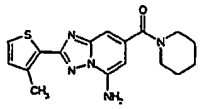
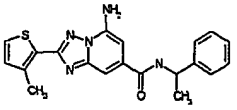
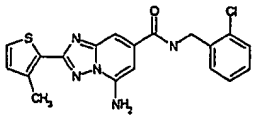
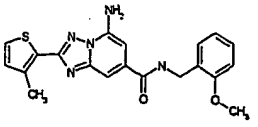
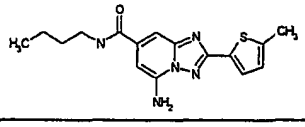
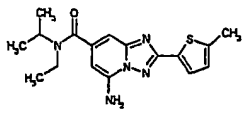
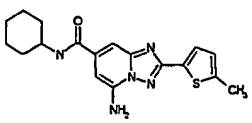
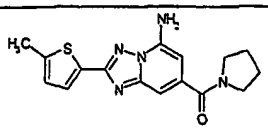
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
125	24.4	254.8	10.5		376.4	MH^+ (100)
126	54.4	231.8	4.3		364.4	MH^+ (100)
127	104.1	1967.6	18.9		315.4	MH^+ (100)
128	104.1	1480.3	14.2		313.4	MH^+ (100)
129	100.5	1455.5	14.5		327.4	MH^+ (100)
130	15.2	127.6	8.4		380.4	MH^+ (100)
131	19.4	345.4	17.8		378.2	MH^+ (100)
132	33.8	766.6	22.7		392.2	MH^+ (100)
133	146.0	1942.8	13.3		502.3	MH^+ (100)
134	287.2	2675.2	9.3		310.4	MH^+ (100)
135	166.5	1821.7	10.9		388.5	MH^+ (100)
136	12.0	222.5	18.5		375.4	MH^+ (100)

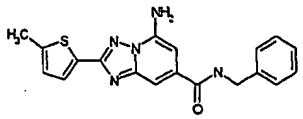
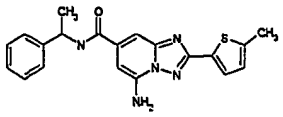
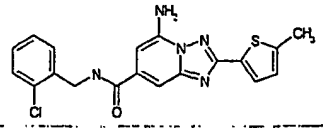
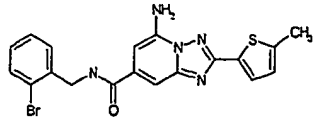
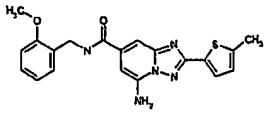
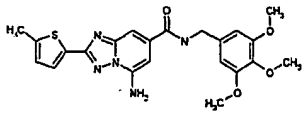
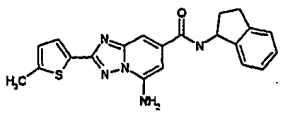
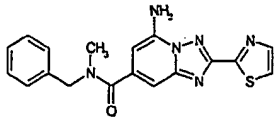
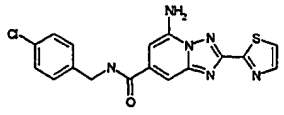
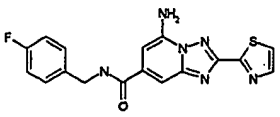
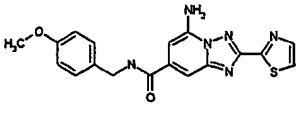
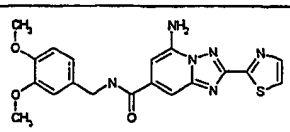
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
137	11.0	217.6	19.8		313.4	MH^+ (100)
138	123.3	1266.2	10.3		339.4	MH^+ (100)
139	74.5	1753.4	23.5		327.3	MH^+ (100)
140	7.3	107.4	14.7		361.4	MH^+ (100)
141	5.9	122.6	20.8		389.5	MH^+ (100)
142	281.3	4118.3	14.6		407.4	MH^+ (100)
143	120.7	1089.3	9.0		343.5	MH^+ (100)
144	63.4	819.3	12.9		405.5	MH^+ (100)
145	26.0	106.4	4.1		309.4	MH^+ (100)
146	130.4	1958.3	15.0		309.4	MH^+ (100)
147	139.8	453.4	3.2		335.4	MH^+ (100)
148	148.3	1197.9	8.1		349.4	MH^+ (100)

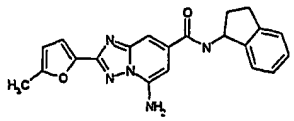
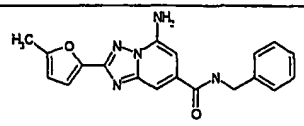
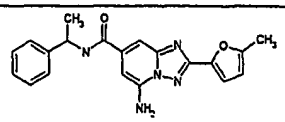
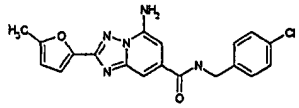
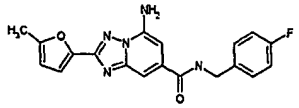
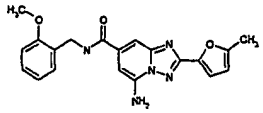
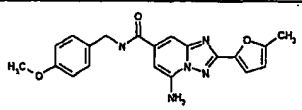
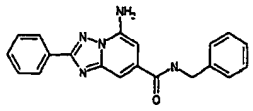
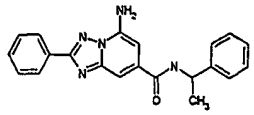
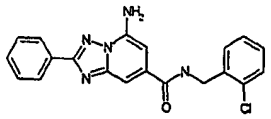
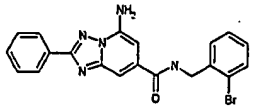
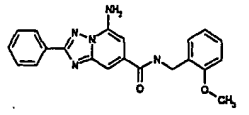
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
149	57.4	98.1	1.7		369.4	MH^+ (100)
150	82.3	710.7	8.6		307.4	MH^+ (100)
151	85.5	927.9	10.8		321.4	MH^+ (100)
152	32.8	366.5	11.2		357.4	MH^+ (100)
153	301.1	4804.1	16.0		299.3	MH^+ (100)
154	88.1	1176.2	13.3		325.4	MH^+ (100)
155	301.5	5853.1	19.4		311.3	MH^+ (100)
156	17.1	228.4	13.3		367.8	MH^+ (100)
157	18.0	231.2	12.8		412.3	MH^+ (100)
158	87.5	258.5	3.0		325.4	MH^+ (100)
159	104.7	279.3	2.7		393.8	MH^+ (100)
160	125.5	138.7	1.1		438.3	MH^+ (100)

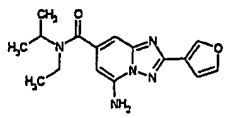
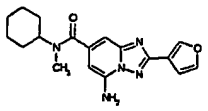
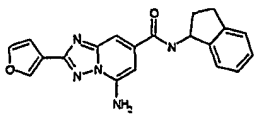
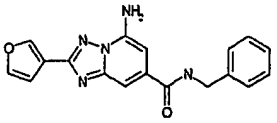
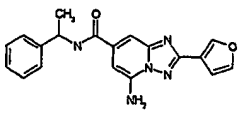
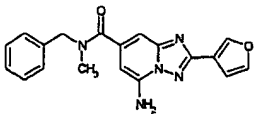
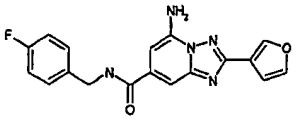
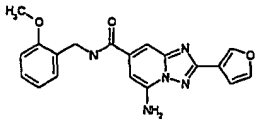
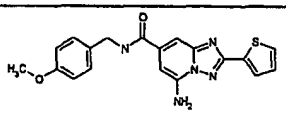
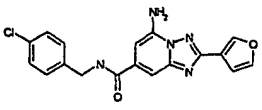
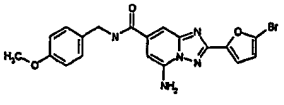
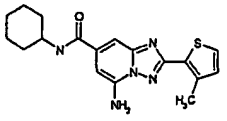
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
161	28.0	160.8	5.7		315.4	MH^+ (100)
162	61.4	300.7	4.9		341.4	MH^+ (100)
163	31.1	124.8	4.0		383.9	MH^+ (100)
164	25.5	111.1	4.4		428.3	MH^+ (100)
165	88.8	769.7	8.7		404.3	MH^+ (100)
166	39.7	201.4	5.1		412.3	MH^+ (100)
167	42.7	196.4	4.6		491.2	MH^+ (100)
168	18.4	47.2	2.6		378.2	MH^+ (100)
169	119.3	406.9	3.4		378.2	MH^+ (100)
170	33.8	152.4	4.5		376.2	MH^+ (100)
171	64.9	276.2	4.3		390.2	MH^+ (100)
172	29.7	24.7	0.8		412.3	MH^+ (100)

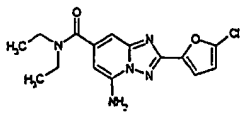
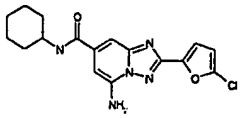
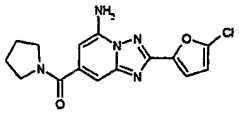
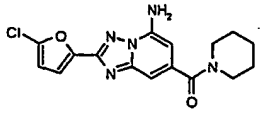
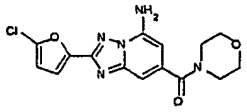
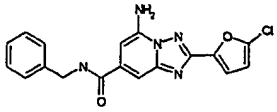
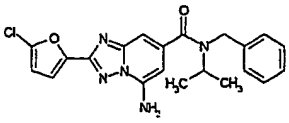
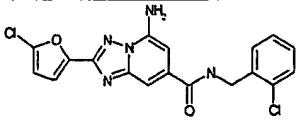
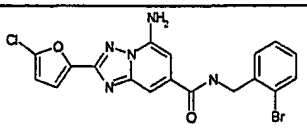
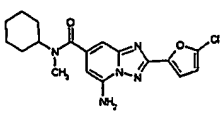
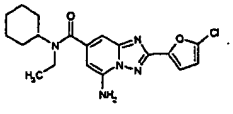
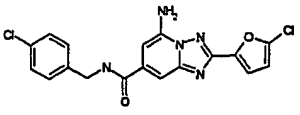
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
173	44.4	73.6	1.7		454.3	MH^+ (100)
174	11.1	17.5	1.6		446.7	MH^+ (100)
175	14.6	22.6	1.5		491.2	MH^+ (100)
176	329.4	150.8	0.5		442.3	MH^+ (100)
177	197.4	266.3	1.3		502.3	MH^+ (100)
178	65.2	450.0	6.9		312.4	MH^+ (100)
179	143.7	779.0	5.4		338.4	MH^+ (100)
180	111.9	306.6	2.7		346.4	MH^+ (100)
181	54.3	256.3	4.7		380.8	MH^+ (100)
182	54.6	269.7	4.9		425.3	MH^+ (100)
183	33.7	302.0	9.0		363.4	MH^+ (100)
184	69.5	133.4	1.9		329.4	MH^+ (100)

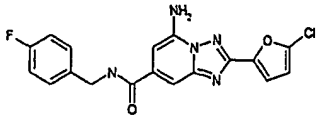
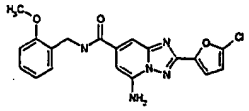
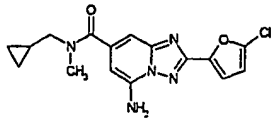
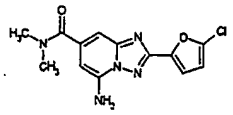
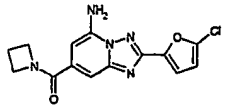
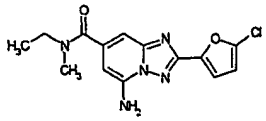
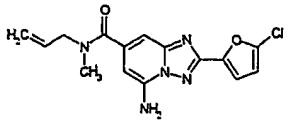
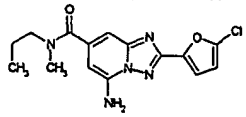
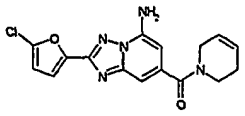
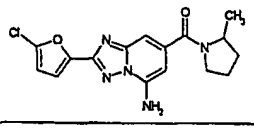
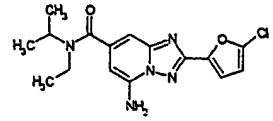
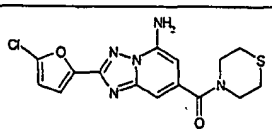
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
185	237.7	1415.2	6.0		329.4	MH^+ (100)
186	209.8	249.2	1.2		357.5	MH^+ (100)
187	223.7	228.4	1.0		389.5	MH^+ (100)
188	225.7	710.7	3.1		327.4	MH^+ (100)
189	267.6	763.4	2.9		341.4	MH^+ (100)
190	365.5	47.3	0.1		377.5	MH^+ (100)
191	108.0	131.3	1.2		397.9	MH^+ (100)
192	129.4	112.3	0.9		393.5	MH^+ (100)
193	41.7	74.8	1.8		329.4	MH^+ (100)
194	56.3	245.8	4.4		343.5	MH^+ (100)
195	108.9	145.2	1.3		355.5	MH^+ (100)
196	52.2	432.0	8.3		327.4	MH^+ (100)

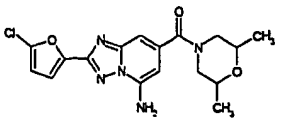
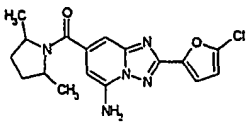
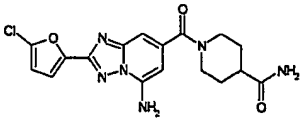
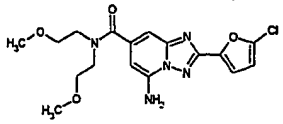
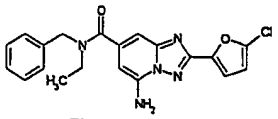
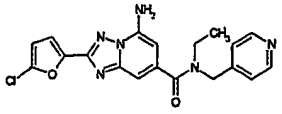
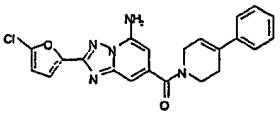
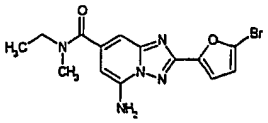
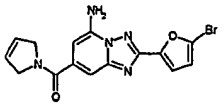
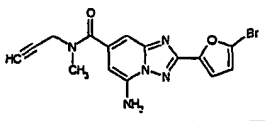
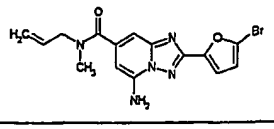
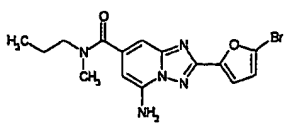
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
197	177.6	90.3	0.5		363.4	MH^+ (100)
198	174.0	112.3	0.6		377.5	MH^+ (100)
199	30.2	36.9	1.2		397.9	MH^+ (100)
200	22.0	37.9	1.7		442.3	MH^+ (100)
201	31.3	33.0	1.1		393.5	MH^+ (100)
202	309.9	678.1	2.2		453.5	MH^+ (100)
203	60.0	66.2	1.1		389.5	MH^+ (100)
204	41.7	325.2	7.8		364.4	MH^+ (100)
205	157.4	293.9	1.9		384.9	MH^+ (100)
206	125.5	657.3	5.2		368.4	MH^+ (100)
207	187.3	533.2	2.8		380.4	MH^+ (100)
208	316.1	1862.1	5.9		410.5	MH^+ (100)

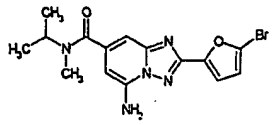
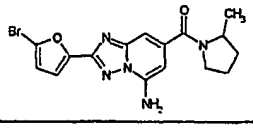
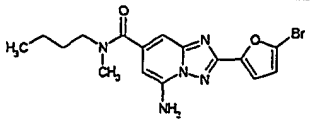
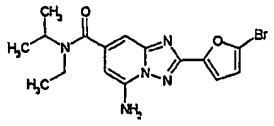
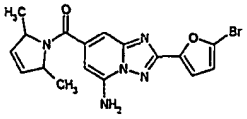
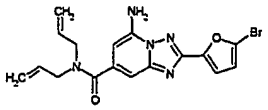
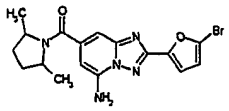
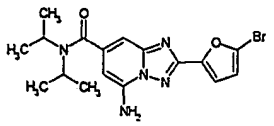
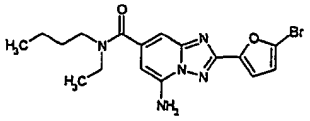
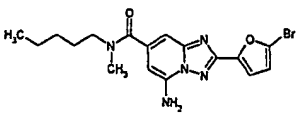
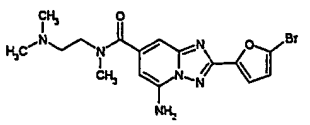
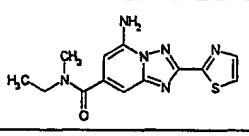
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
209	39.2	320.3	8.2		373.4	MH^+ (100)
210	48.6	298.9	6.1		347.4	MH^+ (100)
211	57.3	298.2	5.2		361.4	MH^+ (100)
212	190.2	634.0	3.3		381.8	MH^+ (100)
213	73.2	343.2	4.7		365.4	MH^+ (100)
214	14.6	111.1	7.6		377.4	MH^+ (100)
215	294.6	1539.3	5.2		377.4	MH^+ (100)
216	64.1	148.7	2.3		343.4	MH^+ (100)
217	84.6	72.6	0.9		357.4	MH^+ (100)
218	47.2	116.4	2.5		377.8	MH^+ (100)
219	30.0	133.4	4.4		422.3	MH^+ (100)
220	29.2	48.3	1.7		373.4	MH^+ (100)

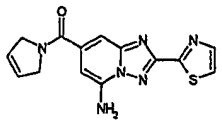
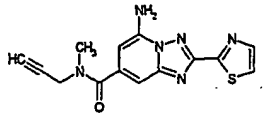
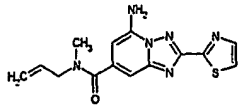
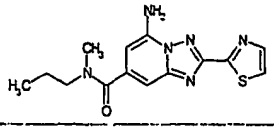
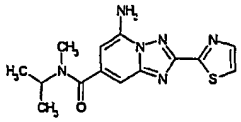
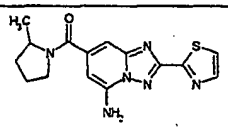
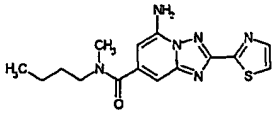
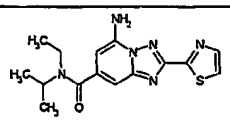
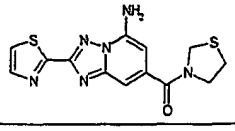
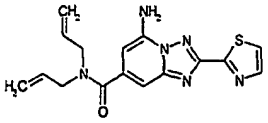
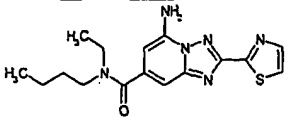
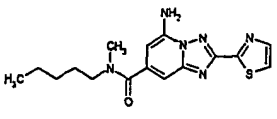
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
221	308.0	2259.3	7.3		313.4	MH^+ (100)
222	240.7	2048.3	8.5		339.4	MH^+ (100)
223	44.1	414.0	9.4		359.4	MH^+ (100)
224	47.4	215.7	4.5		333.4	MH^+ (100)
225	103.4	124.8	1.2		347.4	MH^+ (100)
226	120.7	1011.7	8.4		347.4	MH^+ (100)
227	192.5	735.5	3.8		351.3	MH^+ (100)
228	30.5	163.9	5.4		363.4	MH^+ (100)
229	384.1		0.0		379.4	MH^+ (100)
230	328.8		0.0		367.8	MH^+ (100)
231	364.6		0.0		442.3	MH^+ (100)
232	374.6		0.0		355.5	MH^+ (100)

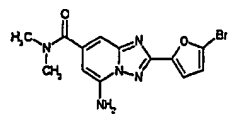
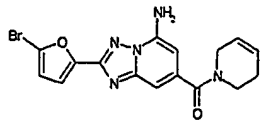
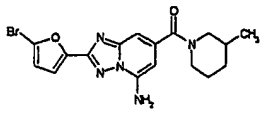
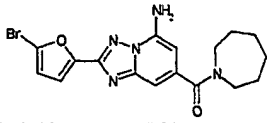
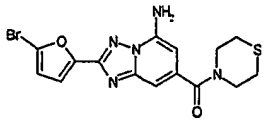
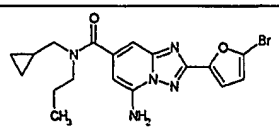
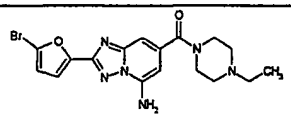
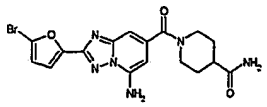
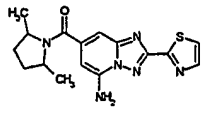
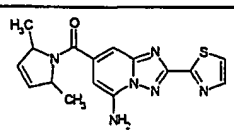
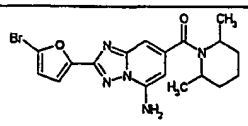
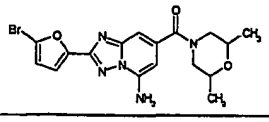
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
233	7.2	280.2	38.7		333.8	MH^+ (100)
234	69.5	455.3	6.6		359.8	MH^+ (100)
235	18.1	769.7	42.5		331.8	MH^+ (100)
236	9.2	324.6	35.2		345.8	MH^+ (100)
237	52.3	707.6	13.5		347.8	MH^+ (100)
238	40.4	94.3	2.3		367.8	MH^+ (100)
239	1.7	25.1	14.6		409.9	MH^+ (100)
240	35.8	91.2	2.5		402.2	MH^+ (100)
241	26.5	70.6	2.7		446.7	MH^+ (100)
242	6.5	124.4	19.0		373.8	MH^+ (100)
243	3.3	95.6	28.6		387.9	MH^+ (100)
244	217.7	190.2	0.9		402.2	MH^+ (100)

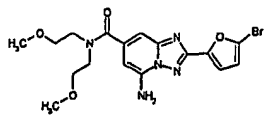
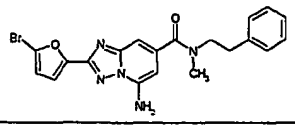
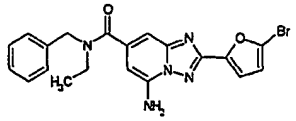
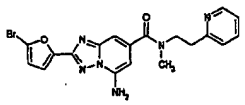
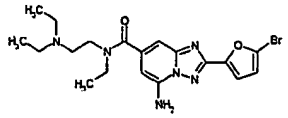
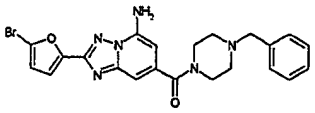
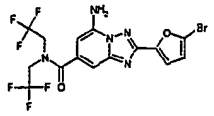
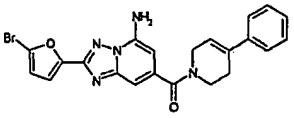
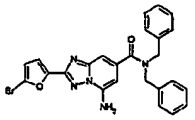
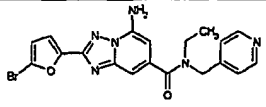
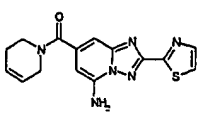
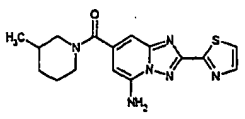
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
245	155.4	288.9	1.9		385.8	MH^+ (100)
246	24.0	71.7	3.0		397.8	MH^+ (100)
247	9.2	161.4	17.6		345.8	MH^+ (100)
248	44.3	601.4	13.6		305.7	MH^+ (100)
249	2089.1	6206.9	3.0		317.7	MH^+ (100)
250	19.1	458.7	24.0		319.8	MH^+ (100)
251	14.7	360.0	24.5		331.8	MH^+ (100)
252	15.5	437.9	28.3		333.8	MH^+ (100)
253	9.5	275.6	28.9		343.8	MH^+ (100)
254	11.2	502.8	44.8		345.8	MH^+ (100)
255	3.2	92.5	28.9		347.8	MH^+ (100)
256	24.4	430.1	17.7		363.8	MH^+ (100)

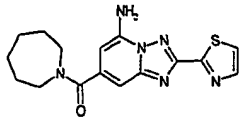
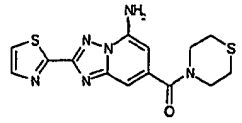
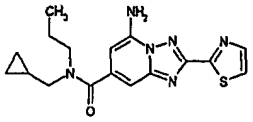
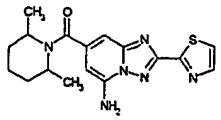
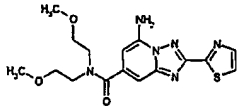
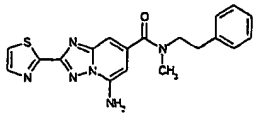
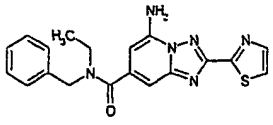
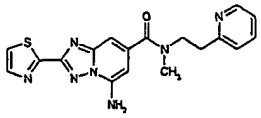
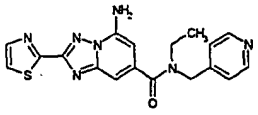
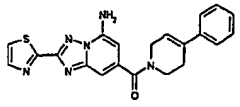
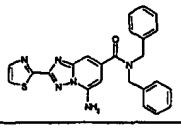
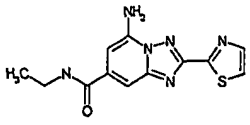
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
257	28.9	819.3	28.3		375.8	MH^+ (100)
258	7.2	220.7	30.6		359.8	MH^+ (100)
259	119.2	540.3	4.5		388.8	MH^+ (100)
260	13.4	269.7	20.2		393.8	MH^+ (100)
261	2.6	37.7	14.7		395.9	MH^+ (100)
262	2.9	106.8	36.8		396.8	MH^+ (100)
263	39.8	304.1	7.6		419.9	MH^+ (100)
264	6.5	277.4	42.7		364.2	MH^+ (100)
265	7.4	563.9	76.2		374.2	MH^+ (100)
266	3.1	246.1	79.4		374.2	MH^+ (100)
267	4.3	180.6	42.0		376.2	MH^+ (100)
268	5.9	275.0	46.6		378.2	MH^+ (100)

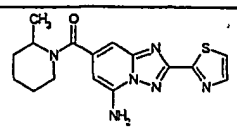
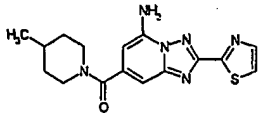
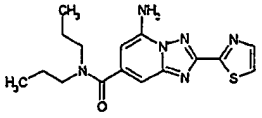
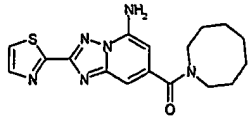
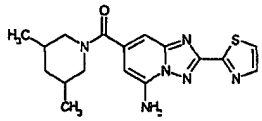
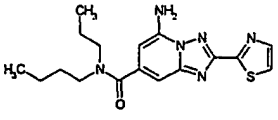
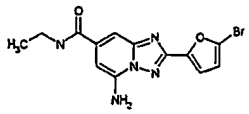
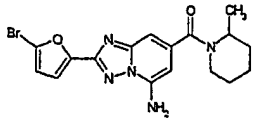
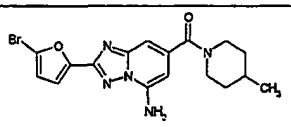
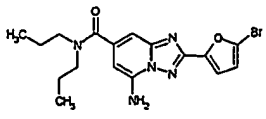
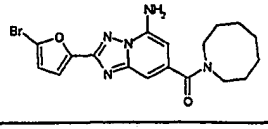
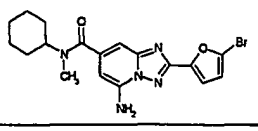
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
269	2.7	110.5	40.9		378.2	MH^+ (100)
270	4.4	286.4	65.1		390.2	MH^+ (100)
271	6.5	149.0	22.9		392.3	MH^+ (100)
272	2.2	81.0	36.8		392.3	MH^+ (100)
273	3.9	251.1	64.4		402.3	MH^+ (100)
274	2.8	116.4	41.6		402.3	MH^+ (100)
275	5.4	208.9	38.7		404.3	MH^+ (100)
276	10.1	228.7	22.6		406.3	MH^+ (100)
277	3.2	128.8	40.3		406.3	MH^+ (100)
278	5.6	94.3	16.8		406.3	MH^+ (100)
279	124.4	1061.4	8.5		407.3	MH^+ (100)
280	157.1	6064.1	38.6		302.4	MH^+ (100)

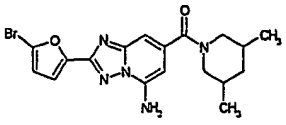
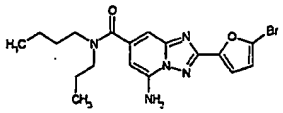
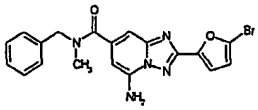
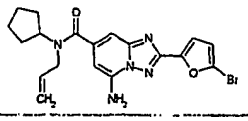
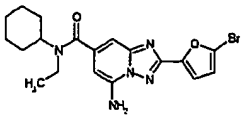
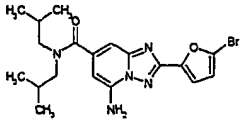
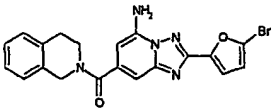
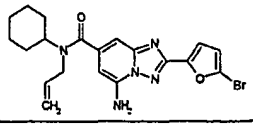
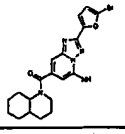
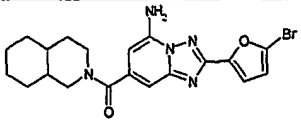
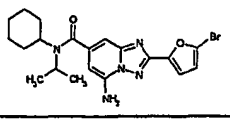
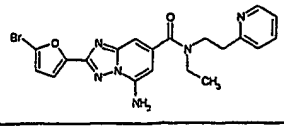
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
281	83.7	4341.7	51.9		312.4	MH^+ (100)
282	85.2	4130.7	48.5		312.4	MH^+ (100)
283	116.1	3131.4	27.0		314.4	MH^+ (100)
284	131.7	3888.6	29.5		316.4	MH^+ (100)
285	83.5	2724.8	32.6		316.4	MH^+ (100)
286	32.4	2973.1	91.8		328.4	MH^+ (100)
287	142.3	2194.1	15.4		330.4	MH^+ (100)
288	66.6	1396.6	21.0		330.4	MH^+ (100)
289	55.3	2324.5	42.0		332.4	MH^+ (100)
290	57.6	1278.6	22.2		340.4	MH^+ (100)
291	89.5	1542.4	17.2		344.4	MH^+ (100)
292	108.1	1505.2	13.9		344.4	MH^+ (100)

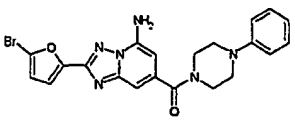
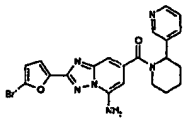
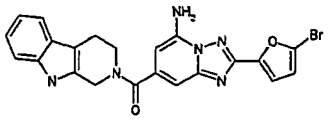
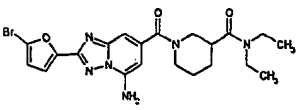
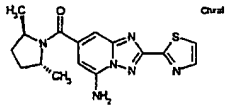
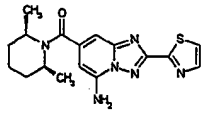
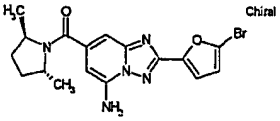
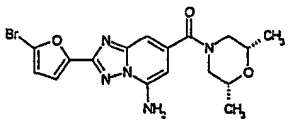
No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
293	24.1	527.9	21.9		350.2	MH^+ (100)
294	4.1	143.4	35.0		388.2	MH^+ (100)
295	2.9	130.3	44.9		404.3	MH^+ (100)
296	1.7	52.7	31.0		404.3	MH^+ (100)
297	9.1	252.6	27.8		408.3	MH^+ (100)
298	2.2	94.0	42.7		418.3	MH^+ (100)
299	123.4	1598.3	13.0		419.3	MH^+ (100)
300	74.7	385.4	5.2		433.3	MH^+ (100)
301	62.1	1896.2	30.5		342.4	MH^+ (100)
302	104.1	2023.4	19.4		340.4	MH^+ (100)
303	148.8	1297.2	8.7		418.3	MH^+ (100)
304	9.3	381.7	41.0		420.3	MH^+ (100)

No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
305	5.2	139.3	26.8		438.3	MH^+ (100)
306	4.8	87.8	18.3		440.3	MH^+ (100)
307	1.7	21.2	12.5		440.3	MH^+ (100)
308	9.8	463.0	47.2		441.3	MH^+ (100)
309	49.5	488.5	9.9		449.4	MH^+ (100)
310	147.8	313.8	2.1		481.4	MH^+ (100)
311	25.8	576.6	22.3		486.2	MH^+ (100)
312	21.8	227.2	10.4		464.3	MH^+ (100)
313	1.2	17.8	14.8		502.4	MH^+ (100)
314	1.2	59.1	49.3		441.3	MH^+ (100)
315	97.5	1989.3	20.4		326.4	MH^+ (100)
316	72.3	2194.1	30.3		342.4	MH^+ (100)

No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
317	34.5	1160.7	33.6		342.4	MH^+ (100)
318	331.6				346.4	MH^+ (100)
319	87.0	1244.5	14.3		356.5	MH^+ (100)
320	82.7	613.9	7.4		356.5	MH^+ (100)
321	319.0				376.4	MH^+ (100)
322	127.2	1216.6	9.6		378.5	MH^+ (100)
323	25.2	342.0	13.6		378.5	MH^+ (100)
324	295.4				379.4	MH^+ (100)
325	37.6	1325.2	35.2		379.4	MH^+ (100)
326	372.8				402.5	MH^+ (100)
327	5.8	128.5	22.2		440.5	MH^+ (100)
328	31.7	1238.3	39.1		288.3	MH^+ (100)

No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
329	47.3	1980.0	41.9		342.4	MH^+ (100)
330	64.4	2777.6	43.1		342.4	MH^+ (100)
331	87.5	2703.1	30.9		344.4	MH^+ (100)
332	17.2	844.1	49.1		356.5	MH^+ (100)
333	36.1	1728.6	47.9		356.5	MH^+ (100)
334	98.0	1790.7	18.3		358.5	MH^+ (100)
335	25.2	355.3	14.1		350.2	MH^+ (100)
336	2.2	65.7	29.9		404.3	MH^+ (100)
337	6.4	183.1	28.6		404.3	MH^+ (100)
338	3.1	199.6	64.4		406.3	MH^+ (100)
339	1.3	37.0	28.5		418.3	MH^+ (100)
340	2.6	77.3	29.7		418.3	MH^+ (100)

No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
341	1.7	119.5	70.3		418.3	MH^+ (100)
342	3.0	141.8	47.3		420.3	MH^+ (100)
343	2.7	42.2	15.6		426.3	MH^+ (100)
344	1.6	71.7	44.8		430.3	MH^+ (100)
345	2.0	62.1	31.1		432.3	MH^+ (100)
346	2.4	102.4	42.7		434.3	MH^+ (100)
347	13.3	235.6	17.7		438.3	MH^+ (100)
348	2.6	67.0	25.8		444.3	MH^+ (100)
349	2.9	64.7	22.3		444.3	MH^+ (100)
350	5.8	167.0	28.8		444.3	MH^+ (100)
351	2.9	77.3	26.7		446.4	MH^+ (100)
352	4.0	143.7	35.9		455.3	MH^+ (100)

No.	Ki HA2A (nM)	Ki HA1 (nM)	selectivity (A2/A2a)	Structure	MW	MS m/e (%)
353	81.5	1036.6	12.7		467.3	MH^+ (100)
354	2.9	45.5	15.7		467.3	MH^+ (100)
355	47.0	285.8	6.1		477.3	MH^+ (100)
356	8.5	1064.5	125.2		489.4	MH^+ (100)
357	145.3	4838.3	33.3		342.4	MH^+ (100)
358	74.7	1719.3	23.0		356.5	MH^+ (100)
359	7.3	934.1	128.0		404.3	MH^+ (100)
360	7.7	422.4	54.9		420.3	MH^+ (100)

Example No.	Name
21	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
22	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone

Example No.	Name
23	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
24	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide
25	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
26	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
27	[5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
28	[5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
29	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
30	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,4-dihydro-1H-isoquinolin-2-yl)-methanone
31	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide
32	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
33	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
34	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone

Example No.	Name
35	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
36	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-butyl-amide
37	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
38	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
39	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
40	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-fluoro-phenyl)-ethyl]-amide
41	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
42	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
43	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-fluoro-benzylamide
44	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
45	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-methoxy-phenyl)-ethyl]-amide
46	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide

Example No.	Name
47	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid hexylamide
48	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
49	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
50	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-chloro-phenyl)-ethyl]-amide
51	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide
52	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
53	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-(2-dimethylamino-ethyl)-amide
54	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-methoxy-phenyl)-ethyl]-amide
55	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
56	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
57	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-fluoro-phenyl)-ethyl]-amide
58	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide

Example No.	Name
59	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
60	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
61	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (pyridin-3-ylmethyl)-amide
62	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
63	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid hexylamide
64	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
65	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
66	[5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
67	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
68	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
69	[5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
70	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclobutylamide

Example No.	Name
71	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid propylamide
72	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylamide
73	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-butyl-amide
74	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cycloheptylamide
75	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-phenethyl-amide
76	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-fluoro-benzyl)-amide
77	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-phenethyl-amide
78	5-Amino-2-(5-methoxy-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid [2-(2-chloro-phenyl)-ethyl]-amide
79	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
80	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
81	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclobutylamide
82	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid propylamide

Example No.	Name
83	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
84	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-butyl-amide
85	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-fluoro-benzyl)-amide
86	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
87	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
88	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
89	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-butyl-amide
90	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-phenethyl-amide
91	5-Amino-2-pyridin-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-fluoro-benzyl)-amide
92	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
93	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
94	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide

Example No.	Name
95	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclobutylamide
96	5-Amino-2-(1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-phenethyl-amide
97	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide
98	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
99	(5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
100	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
101	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
102	[5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
103	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone
104	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
105	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
106	(5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone

Example No.	Name
107	(5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone
108	(5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-morpholin-4-yl-methanone
109	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
110	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
111	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide
112	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide
113	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
114	[5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
115	[5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-morpholin-4-yl-methanone
116	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
117	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
118	[5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone

Example No.	Name
119	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
120	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
121	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
122	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisopropylamide
123	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
124	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
125	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
126	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
127	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
128	(5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
129	(5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone
130	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide

Example No.	Name
131	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
132	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-morpholin-4-yl-methanone
133	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide
134	[5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
135	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
136	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
137	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
138	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
139	[5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-morpholin-4-yl-methanone
140	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
141	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
142	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4-dimethoxy-benzylamide

Example No.	Name
143	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
144	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
145	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
146	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
147	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
148	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
149	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
150	(5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
151	(5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone
152	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
153	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
154	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide

Example No.	Name
155	(5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone
156	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
157	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
158	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
159	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
160	5-Amino-2-(2-hydroxy-phenyl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
161	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
162	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
163	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
164	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
165	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
166	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide

Example No.	Name
167	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
168	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
169	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
170	[5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
171	[5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
172	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
173	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
174	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
175	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
176	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
177	5-Amino-2-(4-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide
178	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide

Example No.	Name
179	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
180	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
181	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
182	5-Amino-2-(1-methyl-1H-pyrrol-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
183	5-Amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
184	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
185	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
186	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisopropylamide
187	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
188	[5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
189	[5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
190	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide

Example No.	Name
191	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
192	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
193	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide
194	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
195	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
196	[5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
197	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
198	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
299	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
200	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
201	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
202	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4,5-trimethoxy-benzylamide

Example No.	Name
203	5-Amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
204	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
205	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-chloro-benzylamide
206	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-fluoro-benzylamide
207	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
208	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 3,4-dimethoxy-benzylamide
209	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
210	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
211	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
212	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-chloro-benzylamide
213	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-fluoro-benzylamide
214	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide

Example No.	Name
215	5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
216	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
217	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
218	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
219	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
220	5-Amino-2-phenyl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
221	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
222	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
223	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid indan-1-ylamide
224	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide
225	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (1-phenyl-ethyl)-amide
226	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide

Example No.	Name
227	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-fluoro-benzylamide
228	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
229	5-Amino-2-thiophen-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
230	5-Amino-2-furan-3-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-chloro-benzylamide
231	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-methoxy-benzylamide
232	5-Amino-2-(3-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
233	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide
234	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexylamide
235	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone
236	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-methanone
237	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-morpholin-4-yl-methanone
238	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzylamide

Example No.	Name
239	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
240	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-chloro-benzylamide
241	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-bromo-benzylamide
242	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
243	+5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide
244	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-chloro-benzylamide
245	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 4-fluoro-benzylamide
246	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid 2-methoxy-benzylamide
247	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-methyl-amide
248	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylamide
249	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-azetidin-1-yl-methanone
250	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide

Example No.	Name
251	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide
252	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide
253	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,6-dihydro-2H-pyridin-1-yl)-methanone
254	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone
255	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
256	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-thiomorpholin-4-yl-methanone
257	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,6-dimethyl-morpholin-4-yl)-methanone
258	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-pyrrolidin-1-yl)-methanone
259	1-[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-4-carboxylic acid amide
260	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid bis-(2-methoxy-ethyl)-amide
261	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
262	5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide

Example No.	Name
263	[5-Amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-phenyl-3,6-dihydro-2H-pyridin-1-yl)-methanone
264	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide
265	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dihydro-pyrrol-1-yl)-methanone
266	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide
267	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide
268	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide
269	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide
270	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone
271	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide
272	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
273	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-2,5-dihydro-pyrrol-1-yl)-methanone
274	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide

Example No.	Name
275	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-pyrrolidin-1-yl)-methanone
276	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisopropylamide
277	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-ethyl-amide
278	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-pentyl-amide
279	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-methyl-amide
280	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide
281	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dihydro-pyrrol-1-yl)-methanone
282	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide
283	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide
284	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide
285	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide
286	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone

Example No.	Name
287	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide
288	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
289	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-thiazolidin-3-yl-methanone
290	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide
291	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-ethyl-amide
292	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-pentyl-amide
293	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylamide
294	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,6-dihydro-2H-pyridin-1-yl)-methanone
295	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3-methyl-piperidin-1-yl)-methanone
296	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-azepan-1-yl-methanone
297	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-thiomorpholin-4-yl-methanone
298	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide

Example No.	Name
299	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-ethyl-piperazin-1-yl)-methanone
300	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-4-carboxylic acid amide
301	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dimethyl-pyrrolidin-1-yl)-methanone
302	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dimethyl-2,5-dihydro-pyrrol-1-yl)-methanone
303	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,6-dimethyl-piperidin-1-yl)-methanone
304	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,6-dimethyl-morpholin-4-yl)-methanone
305	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid bis-(2-methoxy-ethyl)-amide
306	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-phenethyl-amide
307	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
308	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-(2-pyridin-2-yl-ethyl)-amide
309	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-diethylamino-ethyl)-ethyl-amide
310	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-benzyl-piperazin-1-yl)-methanone

Example No.	Name
311	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid bis-(2,2,2-trifluoro-ethyl)-amide
312	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-phenyl-3,6-dihydro-2H-pyridin-1-yl)-methanone
313	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide
314	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide
315	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,6-dihydro-2H-pyridin-1-yl)-methanone
316	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3-methyl-piperidin-1-yl)-methanone
317	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone
318	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-thiomorpholin-4-yl-methanone
319	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide
320	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,6-dimethyl-piperidin-1-yl)-methanone
321	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid bis-(2-methoxy-ethyl)-amide
322	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-phenethyl-amide

Example No.	Name
323	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-ethyl-amide
324	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-(2-pyridin-2-yl-ethyl)-amide
325	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide
326	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-phenyl-3,6-dihydro-2H-pyridin-1-yl)-methanone
327	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide
328	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethylamide
329	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone
330	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-methyl-piperidin-1-yl)-methanone
331	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide
332	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone
333	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone
334	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-propyl-amide

Example No.	Name
335	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethylamide
336	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-piperidin-1-yl)-methanone
337	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-methyl-piperidin-1-yl)-methanone
338	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide
339	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-azocan-1-yl-methanone
340	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide
341	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,5-dimethyl-piperidin-1-yl)-methanone
342	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-propyl-amide
343	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-methyl-amide
344	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide
345	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide
346	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide

Example No.	Name
347	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,4-dihydro-1H-isoquinolin-2-yl)-methanone
348	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclohexyl-amide
349	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(octahydro-quinolin-1-yl)-methanone
350	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(octahydro-isoquinolin-2-yl)-methanone
351	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-isopropyl-amide
352	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-pyridin-2-yl-ethyl)-amide
353	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-phenyl-piperazin-1-yl)-methanone
354	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,4,5,6-tetrahydro-2H-[2,3']bipyridinyl-1-yl)-methanone
355	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(1,3,4,9-tetrahydro-b-carbolin-2-yl)-methanone
356	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid diethylamide
357	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,6-dimethyl-piperidin-1-yl)-methanone
358	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(cis-2,6-dimethyl-piperidin-1-yl)-methanone

Example No.	Name
359	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-[(2R,5R)-trans-2,5-dimethyl-pyrrolidin-1-yl]-methanone
360	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(cis-2,6-dimethyl-morpholin-4-yl)-methanone

Example 361

2,6-Diamino-isonicotinamide

A solution of 3.9 g (20 mmol) 2,6-diamino-isonicotinic acid methyl ester in 200 ml methanol was treated for 1 at 0 °C with gaseous ammonia. The mixture was heated for 36 h at 62 °C in an autoclave (4 bar) and afterwards filtered through decalite and evaporated to dryness. 3.5 g (quant.) of the title compound was obtained as a yellow solid.

An analytical sample was further purified through column chromatography on silica eluting with DCM/MeOH/NH_3aq. 30:10.1 to yield pure material.

MS m/e (%): 152 ($M+H^+$, 100).

1-H-NMR (400 MHz, *DMSO-d_6*): δ= 7.65 (s, br, 1H, $CONH_2$), 7.16 (s, br, 1H, $CONH_2$), 5.93 (s, 2H, Ar-H), 5.50 (s, br, 4H, NH_2).

Elemental analysis: calc.: C 47.36, H 5.30, N 36.82

found.: C 46.79, H 5.33, N 36.01

Example 362

4-Aminomethyl-pyridine-2,6-diamine

To a refluxing suspension of 0.5 g (3.29 mmol) 2,6-diamino-isonicotinamide in 3 ml THF was added dropwise 0.455 ml (4.8 mmol) boran-dimethylsulfide-complex and the mixture was refluxed for 4 d. After cooling to room temperature 0.548 ml 6N HCl was added and the mixture was neutralized with 2N NaOH. The mixture was concentrated under reduced pressure and the residue was purified by column chromatography on silica eluting with DCM:MeOH:NH_3aq. 100:100:1 to yield 166 mg (36%) of the title compound as yellow solid.

MS m/e (%): 139.2 ($M+H^+$, 100).

1-H-NMR (400 MHz, *DMSO-d_6*): δ= 5.62 (s, 2H, H3 / H5), 5.21 (s, br, 4H, 2xNH_2), 3.41 (s, 2H, CH_2), 2.00 (s, br, 2H, NH_2).

Example 363

2-Bromo-N-(2,6-diamino-pyridin-4-ylmethyl)-benzamide

A solution of 172.5 mg (1.25 mmol) 4-aminomethyl-pyridine-2,6-diamine in 5 ml pyridine was treated with 275 mg (1.25 mmol) o-bromobenzoylchloride and a catalytic amount 4-dimethylaminopyridine and stirred for 2.5 h at room temperature. The mixture was evaporated to dryness and the residue was purified by column chromatography on silica eluting with dichloromethane/methanol 9/1 to yield 81 mg (20 %) of the title compound.

MS: m/z (%): 321.2 ($(M-H)^+$, 100)

1-H-NMR (400 MHz, DMSO-d_6): δ= 8.77 (t, J= 6 Hz, 1H, NH), 7.66 (d, J= 8 Hz, 1H, Ph (3-H)), 7.44 (m, 2H, Ph (4-H, 6-H)), 7.38 (m, 1H, Ph (5-H)), 5.65 (s, 2H, (3-H, 5-H)), 5.31 (s, br, 4H, NH_2), 4.13 (d, J= 6 Hz, 2H, CH_2)

Elemantal analysis: calc.: C 48.62, H 4.08, N 17.45, Br 24.88

found.: C 48.93, H 4.09, N 17.18, Br 24.83

Example 364

Cyclopentanecarboxylic acid (2,6-diamino-pyridin-4-ylmethyl)-amide

A solution of 205.6 mg (1.49 mmol) 4-aminomethyl-pyridine-2,6-diamine in 5 ml pyridine was treated with 198 mg (1.49 mmol) cyclopentane carboxilic acid chloride and a catalytic amount 4-dimethylaminopyridine and stirred for 2.5 h at room temperature. The mixture was evaporated to dryness and the residue was purified by column chromatography on silica eluting with dichloromethane/methanol 9/1 to yield 93 mg (26 %) of the title compound.

MS: m/z (%): 235.3 ($(M-H)^+$, 100)

1-H-NMR (400 MHz, DMSO-d_6): δ= 8.09 (t, J= 6 Hz, 1H, NH), 5.50 (s, 2H, (3-H, 5-H)), 5.29 (s, br, 4H, NH_2), 3.95 (d, J= 6 Hz, 2H, CH_2), 2.59 (m, 1H, Cyclopentyl (1-H)), 1.75 (m, 2H, Cyclopentyl-H), 1.64 (m, 4H, Cyclopentyl-H), 1.50 (m, 2H, Cyclopentyl-H)

Elemental analysis: calc.: C 61.52, H 7.74, N 23.91

found.: C 61.26, H 7.74, N 23.61

Example 365

Cyclopentanecarboxylic acid [5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-ylmethyl]-amide

To a solution of 39 mg (0.17 mmol) cyclopentanecarboxylic acid (2,6-diamino-pyridin-4-ylmethyl)-amide in 1.4 ml dioxane was added 40.2 mg (0.187 mmol, 1.1 eq.) o-mesitylenesulfonylhydroxylamine and after 2h 38.6 mg (0.221 mmol, 1.3 eq.) 5-bromo-2-furaldehyde. The mixture was heated to 100 °C for 2.5 h and afterwards 0.17 ml 1N KOH in MeOH was added. Opening of the reaction vessel and stirring of the mixture at room temperature for 16 h preceded the evaporation to dryness. The residue was taken up in 4.5 ml water and the aqueous phase was extracted with dichloromethane. The combined organic layers were dried with $MgSO_4$ and evaporated. Purification of the residue with column chromatography on silica eluting with yielded the 12 mg (21 %) of the titel compound.

MS: m/z (%): [404.3 (96), 406.3 (100), $(M-H)^+$]

1-H-NMR (400 MHz, DMSO-d_6): δ= 8.38 (t, J= 6 Hz, 1H, NH), 7.15 (d, J= 3.6 Hz, 1H, Furanyl (3-H)), 7.07 (s, br, 2H , NH_2), 6.81 (d, J= 3.6 Hz, 1H, Furanyl (4-H)), 6.72 (s, 1H, 8-H), 6.13 (s, 1H, 6-H), 4.27 (d, J= 6 Hz, 2H, CH_2), 2.67 (m, 1H, Cyclopentyl (1-H)), 1.80 (m, 2H, Cyclopentyl), 1.65 (m, 4H , Cyclopentyl), 1.54 (m, 2H, Cyclopentyl)

Example 366

Cyclopentanecarboxylic acid [5-amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-ylmethyl]-amide

The title compound was prepared in accordance with the general method of example 365 from cyclopentanecarboxylic acid (2,6-diamino-pyridin-4-ylmethyl)-amide, o-mesitylene-sulfonylhydroxylamine, and 5-methyl-2-furaldehyde. The purification was performed with column chromatography on silica eluting with dichloromethane / ethylacetate 1:2.

Yield: 27%

MS: m/z (%): 404 ($M+H^+$, 100)

1-H-NMR (400 MHz, DMSO-d_6): δ= 8.37 (t, J= 6 Hz, 1H, NH), 7.01 (s, br, 2H, NH_2), 6.98 (d, J= 3 Hz, 1H , Furanyl (3-H)), 6.69 (s, 1H, 8-H), 6.29 (d, J= 3 Hz, 1H, Furanyl (4-H)), 6.09 (s, 1H, 6-H), 4.26 (d, J= 6 Hz, 2H, CH_2), 2.64 (m, 1H, Cyclopentyl (1-H)), 2.38 (s, 3H, CH_3), 1.80 (m, 2H, Cyclopentyl), 1.68 (m, 4H, Cyclopentyl), 1.52 (m, 2H , Cyclopentyl).

Example 367

N-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-ylmethyl]-2-bromo-benzamide

The title compound was prepared in accordance with the general method of example 365 from 2-bromo-N-(2,6-diamino-pyridin-4-ylmethyl)-benzamide, o-mesitylene-sulfonylhydroxylamine, and 5-bromo-2-furaldehyde. The purification was performed with column chromatography on silica eluting with dichloromethane / ethylacetate 1:2.
Yield: 24 %
MS: m/z (%): [490.0 (39), 492.0 (100), 494.0 (49), $(M-H)^+$]
1-H-NMR (400 MHz, DMSO-d_6): δ= 9.05 (t, J= 6 Hz, 1H, NH), 7.69 (d, J= 7.6 Hz, 1H, Ph (3-H)), 7.47 (m, 2H, Ph (4-H, 6-H)), 7.39 (m, 1H, Ph (5-H)), 7.16 (d, J= 3.6 Hz, 1H, Furanyl (3-H)), 7.12 (s, br, 2H , NH_2), 6.89 (s, 1H, 8-H), 6.82 (d, J= 3.6 Hz, 1H, Furanyl (4-H)), 6.28 (s, 1H, 6-H), 4.46 (d, J= 6 Hz, 2H, CH_2).

Example 368

N-[5-Amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-ylmethyl]-2-bromo-benzamide

The title compound was prepared in accordance with the general method of example 365 from 2-bromo-N-(2,6-diamino-pyridin-4-ylmethyl)-benzamide, o-mesitylene-sulfonylhydroxylamine, and 5-methyl-2-furaldehyde. The purification was performed with column chromatography on silica eluting with dichloromethane / ethylacetate 1:2.
Yield: 21%
MS m/z (%): [426.3 (100), 428.3 (86), $(M-H)^+$]
1-H-NMR (400 MHz, DMSO-d_6): δ= 9.05 (t, J= 6 Hz, 1H, NH), 7.68 (m, 1H, Ph), 7.48 (m, 2H , Ph), 7.38 (m, 1H, Ph), 7.05 (s, br, 2H, NH_2), 7.00 (d, J= 3 Hz, 1H, Furanyl (3-H)), 6.85 (s, 1H, 8-H), 6.30 (d, J= 3 Hz, 1H, Furanyl (4-H)), 6.24 (s, 1H, 6-H), 4.46 (d, J= 6 Hz, 2H , CH_2), 2.38 (s, 3H, CH_3)

Example 369

2,6-Bis-acetylamino-isonicotinic acid methyl ester

A mixture of 3 g (17.95 mmol) 2,6-diamino-isonicotinic acid methyl ester , 10 ml pyridine and 7.46 ml (78.9 mmol) acetic anhydride was stirred for 1h at room temperature and subsequently 1h at 80 °C. Volatiles were removed under reduced pressure and the residue was taken up in ethylacetate and Na_2CO_3 solution. The aqueous pahes was extracted with

ethylacetate and the combined organic phases were dried with $MgSO_4$ and concentrated to yield 3.79 g (84 %) of the title compound as white crystals.

1-H-NMR (250 MHz, DMSO-d_6): δ= 8.22 (s, 2H, H3 / H5), 3.88 (s, 3H, OCH_3), 2.13 (s, 6H, CH_3)

MS m/z (%): 251.1 ($M+H^+$, 100)

Elemental analysis: calculated C 52.59, H 5.22, N 16.73
found C 52.40, H 5.17, N 16.74

Example 370

2,6-Bis-acetylamino-N-methoxy-N-methyl-isonicotinamide

A mixture of 5.33 g (54.7 mmol) N,O,-dimethylhydroxylamine in 40 ml DCM was treated at 0 °C with a 2M solution of trimethylaluminium in toluene and stirred for an additional hour at 0 °C. 4.48 g (18.23 mmol) 2,6-bis-acetylamino-N-methoxy-N-methyl-isonicotinamide was added slowly and subsequently 8.65 ml pyridine. The mixture was allowed to stirr to room temperature and subsequently for 16 h at room temperature. 10 ml 37 % HCL was added and the mixture was poured into 300 ml MeOH. Decalite was added, the mixture was filtered and the filtrate was evaporated to dryness. The residue was further purified by column chromatography on silica eluting with DCM:MeOH gradient to yield 3.48 g (49 %) the title compound.

MS m/z (%): 281.2 ($M+H^+$, 100)

Example 371

(2,6-Diamino-pyridin-4-yl)-(4-fluoro-phenyl)-methanone

To a solution of 0.5 g (1.78 mmol) 2,6-bis-acetylamino-N-methoxy-N-methyl-isonicotinamide in 8 ml THF was added at room temperature 7.14 ml (7.14 mmol) of a 1M solution of 4-fluorophenylmagnesium bromide in THF and stirred for 80 min at room temperature and subsequently for 2 h at 40 °C. After cooling to room temperature 0.8 ml 37 % HCl was added and the mixture was evapoarted to dryness. The residue was taken up in ethyl acetate and 2M Na_2CO_3. The aqueous phase was extracted with ethyl acetate and the combined organic fraction were dried with $MgSO_4$ and evaporated to dryness. The residue was taken up in 3 ml MeOH and 1 ml 37 % HCl and heated to reflux for 4 h. After evaporation to dryness the residue was taken up in ethyl acetate and 2M Na_2CO_3. The aqueous phase was extracted with ethyl acetate and the combined organic fraction were dried with $MgSO_4$ and evaporated to dryness. The title compound was further purified by

reversed phase HPLC eluting with a acetonitrile / water gradient and yielded 131 mg (32 %) yellow crystals.

1-H-NMR (300 MHz, DMSO-d_6): δ= 8.13 (s, 1H, H3), 7.83 (m, 2H, phenyl H3 / H5), 7.38 (m, 2H, phenyl H2 / H6), 5.80 (s, 1H, H5), 5.71 (s, br, 4H, NH_2)

MS m/z (%): 231.1 ($M+H^+$, 100)

Example 372

(2,6-Diamino-pyridin-4-yl)-phenyl-methanone

The title compound was prepared in accordance with the general method of example 371 from 2,6-bis-acetylamino-N-methoxy-N-methyl-isonicotinamide and phenylmagnesium bromide. The purification was performed by reversed phase HPLC eluting with a acetonitrile / water gradient Yield: 37 %

1-H-NMR (300 MHz, DMSO-d_6): δ= 8.13 (s, 1H, H3), 7.73 (m, 2H, phenyl H2 / H6), 7.64 (m, 1H, phenyl H4), 7.55 (m, 2H, phenyl H3 / H5), 5.82 (s, 1H, H5), 5.70 (s, br, 4H, NH_2)

MS m/z (%): 213.1 ($M+H^+$, 100)

Example 373

[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-fluoro-phenyl)-methanone

To a solution of 43 mg (0.177 mmol) (2,6-diamino-pyridin-4-yl)-(4-fluoro-phenyl)-methanone in 0.5 ml dioxane at room temperatue was added 38.7 mg (0.194 mmol, 1.1eq.) o-mesitylenesulfonylhydroxylamine and after 1 h 40 mg (0.23 mmol, 1.3 eq.) 5-bromo-2-furaldehyde and stirred for 30 min at 80 °C. After the addition of 1N KOH the mixture was stirred at room temperature for 12 h. The mixture was purified by preparative reversed phase HPLC eluting with a gradient of acetonitrile / water to yield 4.5 mg (11 %) of the title compound.

Example 374

(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-fluoro-phenyl)-methanone

The title compound, MS m/e (%): 340 ($M+H^+$, 100), was prepared in accordance with the general method of example 373 from (2,6-diamino-pyridin-4-yl)-(4-fluoro-phenyl)-methanone, o-mesitylene-sulfonylhydroxylamine, and thiazole-2-carbaldehyde. The

purification was performed with reversed phase HPLC eluting with an acetonitrile/water gradient.

Example 375

(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-fluoro-phenyl)-methanone

The title compound, MS m/e (%): 334 ($M+H^+$, 100), was prepared in accordance with the general method of example 373 from (2,6-diamino-pyridin-4-yl)-(4-fluoro-phenyl)-methanone, o-mesitylene-sulfonylhydroxylamine, and pyridine-2-carbaldehyde. The purification was performed with reversed phase HPLC eluting with an acetonitrile/water gradient.

Example 376

[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-phenyl-methanone

The title compound, MS m/e (%): 383 ($M+H^+$, 100), was prepared in accordance with the general method of example 373 from (2,6-diamino-pyridin-4-yl)-phenyl-methanone, o-mesitylene-sulfonylhydroxylamine, and 5-bromo-2-furaldehyde. The purification was performed with reversed phase HPLC eluting with an acetonitrile/water gradient.

Example 377

(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-phenyl-methanone

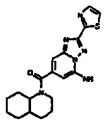
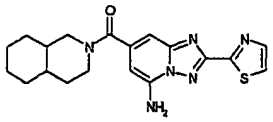
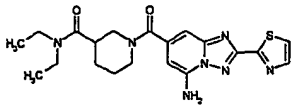
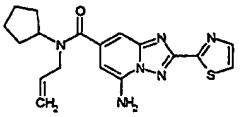
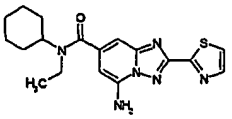
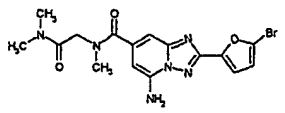
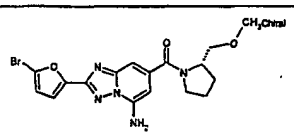
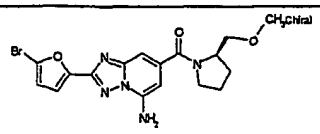
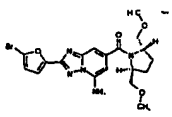
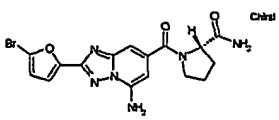
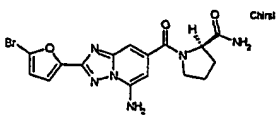
The title compound, MS m/e (%): 322 ($M+H^+$, 100), was prepared in accordance with the general method of example 373 from (2,6-diamino-pyridin-4-yl)-phenyl-methanone, o-mesitylene-sulfonylhydroxylamine, and thiazole-2-carbaldehyde. The purification was performed with reversed phase HPLC eluting with an acetonitrile/water gradient.

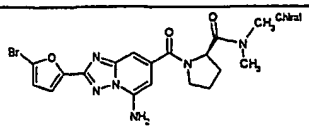
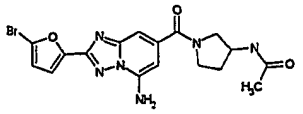
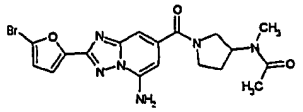
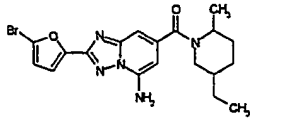
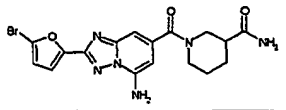
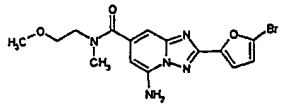
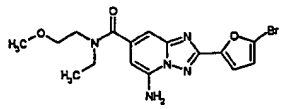
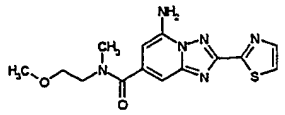
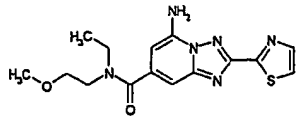
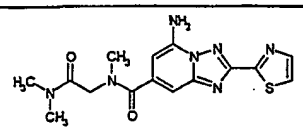
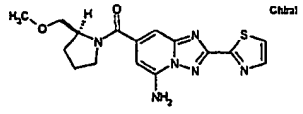
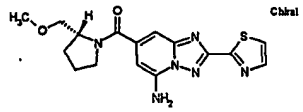
Example 378

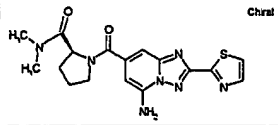
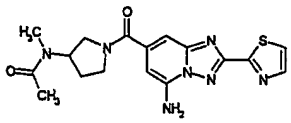
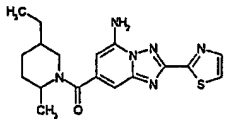
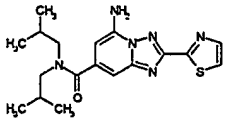
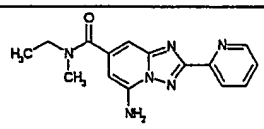
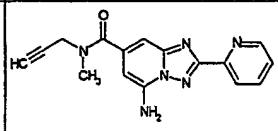
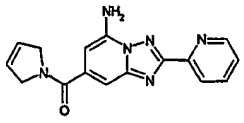
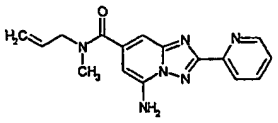
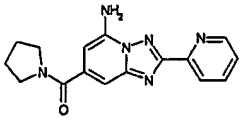
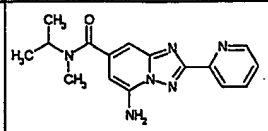
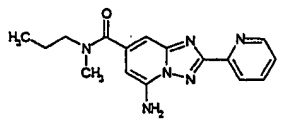
(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-phenyl-methanone

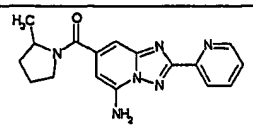
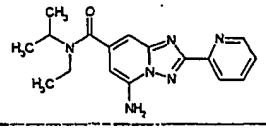
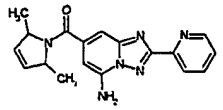
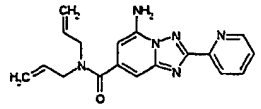
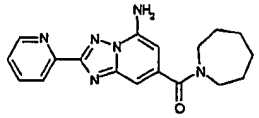
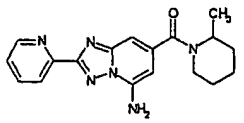
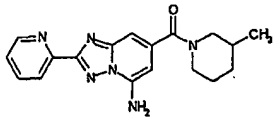
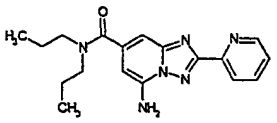
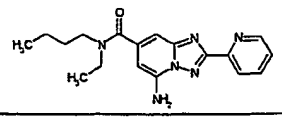
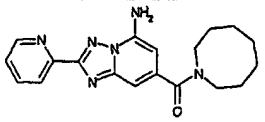
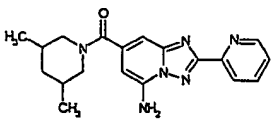
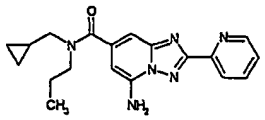
The title compound, MS m/e (%): 316 ($M+H^+$, 100), was prepared in accordance with the general method of example 373 from (2,6-diamino-pyridin-4-yl)-phenyl-methanone, o-mesitylene-sulfonylhydroxylamine, and pyridine-2-carbaldehyde. The purification was performed with reversed phase HPLC eluting with an acetonitrile/water gradient.

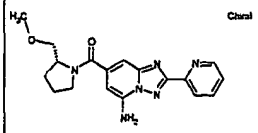
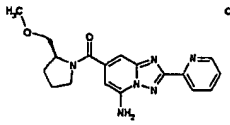
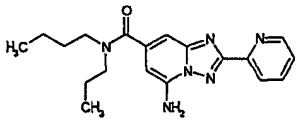
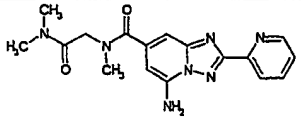
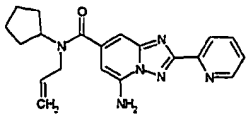
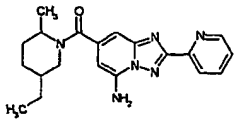
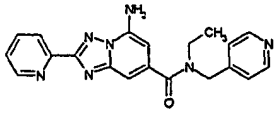
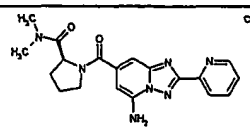
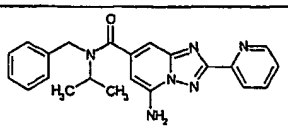
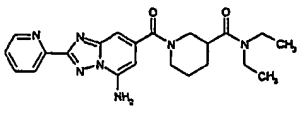
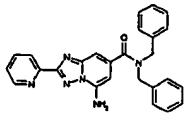
According to example 20 the following triazolopyridine carboxamide derivatives have been synthesised. The results are compiled in the following list comprising example 379 to example 435.

No.	Ki hA2A (nM)	Ki hA1 (nM)	selectivity (A1/A2a)	Structure	MW	MS m/e (%)
379	33.5	576.9	17.2		382.5	MH^+ (100)
380	76.9	1908.6	24.8		382.5	MH^+ (100)
381	179.7	2048.3	11.4		427.5	MH^+ (100)
382	54.6	729.3	13.4		368.5	MH^+ (100)
383	81.2	1126.6	13.9		370.5	MH^+ (100)
384	3.2	710.7	222.1		421.3	MH^+ (100)
385	11.7	615.7	52.6		420.3	MH^+ (100)
386	2.4	292.3	121.8		420.3	MH^+ (100)
387	118.2	2535.5	21.5		464.3	MH^+ (100)
388	26.7	330.5	12.4		419.2	MH^+ (100)
389	9.8	383.3	39.1		419.2	MH^+ (100)

No.	Ki hA2A (nM)	Ki hA1 (nM)	selectivity (A1/A2a)	Structure	MW	MS m/e (%)
390	8.3	813.1	98		447.3	MH^+ (100)
391	53	1464.8	27.6		433.3	MH^+ (100)
392	34.7	1775.2	51.2		447.3	MH^+ (100)
393	2.9	95.3	32.9		432.3	MH^+ (100)
394	11	417.1	37.9		433.3	MH^+ (100)
395	6.7	295.1	44		394.2	MH^+ (100)
396	3.5	170.4	48.7		408.3	MH^+ (100)
397	298.4	5490	18.4		332.4	MH^+ (100)
498	189.8	3991	21		346.4	MH^+ (100)
399	101.1	6206.9	61.4		359.4	MH^+ (100)
400	83.7	4462.8	53.3		358.4	MH^+ (100)
401	51.9	3444.8	66.4		358.4	MH^+ (100)

No.	Ki hA2A (nM)	Ki hA1 (nM)	selectivity (A1/A2a)	Structure	MW	MS m/e (%)
402	292.6	6206.9	21.2		385.5	MH^+ (100)
403	397.7	6206.9	15.6		385.5	MH^+ (100)
404	94.3	1253.8	13.3		370.5	MH^+ (100)
405	43.3	1002.4	23.2		372.5	MH^+ (100)
406	146.8	3929	26.8		296.3	MH^+ (100)
407	69.1	1827.9	26.5		306.3	MH^+ (100)
408	91.8	1368.6	14.9		306.3	MH^+ (100)
409	99.8	1651	16.5		308.3	MH^+ (100)
410	56.8	1449.3	25.5		308.3	MH^+ (100)
411	62.3	1405.9	22.6		310.4	MH^+ (100)
412	118.7	3019.7	25.4		310.4	MH^+ (100)

No.	Ki hA2A (nM)	Ki hA1 (nM)	selectivity (A1/A2a)	Structure	MW	MS m/e (%)
413	37.8	1427.6	37.8		322.4	MH^+ (100)
414	56	884.5	15.8		324.4	MH^+ (100)
415	75.4	274	3.6		334.4	MH^+ (100)
416	48.1	834.8	17.4		334.4	MH^+ (100)
417	16.9	532.2	31.5		336.4	MH^+ (100)
418	42.4	1024.1	24.2		336.4	MH^+ (100)
419	44.9	1595.2	35.5		336.4	MH^+ (100)
420	103.3	1812.4	17.5		338.4	MH^+ (100)
421	85.7	1362.4	15.9		338.4	MH^+ (100)
422	17.4	372.4	21.4		350.4	MH^+ (100)
423	33.8	831.7	24.6		350.4	MH^+ (100)
424	49.6	878.3	17.7		350.4	MH^+ (100)

No.	Ki hA2A (nM)	Ki hA1 (nM)	selectivity (A1/A2a)	Structure	MW	MS m/e (%)
425	40.8	1294.1	31.7		352.4	MH^+ (100)
426	119.4	2051.4	17.2		352.4	MH^+ (100)
427	101.8	1191.7	11.7		352.4	MH^+ (100)
428	116.9	6206.9	53.1		353.4	MH^+ (100)
429	31	473.9	15.3		362.4	MH^+ (100)
430	63.6	689	10.8		364.5	MH^+ (100)
431	33.1	1033.4	31.2		373.4	MH^+ (100)
432	88	2395.9	27.2		379.4	MH^+ (100)
433	15.6	184.7	11.8		386.5	MH^+ (100)
434	117.9	6206.9	52.6		421.5	MH^+ (100)
435	6.4	121.3	19		434.5	MH^+ (100)

Example No.	Name
379	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(octahydro-quinolin-1-yl)-methanone
380	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(octahydro-isoquinolin-2-yl)-methanone
381	1-(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl)-piperidine-3-carboxylic acid diethylamide
382	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide
383	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide
384	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylcarbamoylmethyl-methyl-amide
385	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(S-2-methoxymethyl-pyrrolidin-1-yl)-methanone
386	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(R-2-methoxymethyl-pyrrolidin-1-yl)-methanone
387	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(S,S-2,5-bis-methoxymethyl-pyrrolidin-1-yl)-methanone
388	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-L-pyrrolidine-2-carboxylic acid amide
389	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-D-pyrrolidine-2-carboxylic acid amide
390	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-pyrrolidine-2-carboxylic acid dimethylamide
391	N-{1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-pyrrolidin-3-yl}-acetamide
392	N-{1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-

	7-carbonyl]-pyrrolidin-3-yl}-N-methyl-acetamide
393	[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(5-ethyl-2-methyl-piperidin-1-yl)-methanone
394	1-[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid amide
395	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-methoxy-ethyl)-methyl-amide
396	5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-methoxy-ethyl)-amide
397	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-methoxy-ethyl)-methyl-amide
398	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-methoxy-ethyl)-amide
399	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylcarbamoylmethyl-methyl-amide
400	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(S-2-methoxymethyl-pyrrolidin-1-yl)-methanone
401	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(R-2-methoxymethyl-pyrrolidin-1-yl)-methanone
402	1-(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl)-pyrrolidine-2-carboxylic acid dimethylamide
403	N-[1-(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl)-pyrrolidin-3-yl]-N-methyl-acetamide
404	(5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(5-ethyl-2-methyl-piperidin-1-yl)-methanone
405	5-Amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide
406	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid

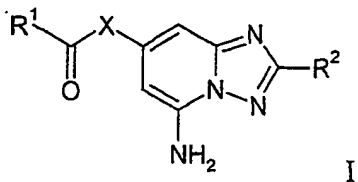
	ethyl-methyl-amide
407	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide
408	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dihydro-pyrrol-1-yl)-methanone
409	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide
410	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
411	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide
412	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide
413	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone
414	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide
415	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dimethyl-2,5-dihydro-pyrrol-1-yl)-methanone
416	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide
417	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone
418	(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone
419	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone
420	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid

	dipropylamide
421	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-ethyl-amide
422	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone
423	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone
424	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide
425	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-R-2-methoxymethyl-pyrrolidin-1-yl)-methanone
426	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(S-2-methoxymethyl-pyrrolidin-1-yl)-methanone
427	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-propyl-amide
428	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylcarbamoylmethyl-methyl-amide
429	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide
430	(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(5-ethyl-2-methyl-piperidin-1-yl)-methanone
431	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide
432	1-(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl)-pyrrolidine-2-carboxylic acid dimethylamide
433	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide
434	1-(5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl)-

	piperidine-3-carboxylic acid diethylamide
435	5-Amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide

Claims

1. A compound of the general formula



I

wherein

R^1 is lower alkoxy, cycloalkyl or aryl, unsubstituted or substituted by halogen or lower alkoxy,
or is –NR'R", wherein R' and R" are independently from each other
hydrogen, lower alkyl, lower alkenyl, lower alkinyl, $-(CR_2)_n$-aryl, unsubstituted or substituted by one to three substituents, selected from the group, consisting of halogen or lower alkoxy, or are $-(CH_2)_{n+1}NR_2$, $-(CH_2)_n$-pyridinyl, $-(CH_2)_n$-indanyl, $-(CH_2)_n$-cycloalkyl, $-(CH_2)_n$-O-lower alkyl, $-(CH_2)_n$-C(O)-NR_2, $-(CH_2)_n$-CF_3,
or
R' and R" are together with the N atom to which they are attached pyrrolidin-1-yl, piperidin-1-yl, 3,4-dihydro-1H-isoquinolin-2-yl, morpholinyl, azatidin-1-yl, 3,6-dihydro-2H-pyridin-1-yl, thiomorpholinyl, 2,5-dihydro-pyrrol-1-yl, thiazolidin-3-yl, piperazinyl, azocan-1-yl, azepan-1-yl, octahydroquinolin-1-yl, octahydroquinolin-2-yl, 1,3,4,9-tetrahydro-b-carbolin-2-yl, which rings may be unsubstituted or substituted by one to three substituents, selected from the group, consisting of lower alkyl, phenyl, benzyl, pyridyl, $-C(O)-NR_2$, $-(CH_2)_n$-O-lower alkyl or –NR-(C(O)-lower alkyl;

R^2 is aryl or a 5 or 6 membered heteroaryl group, which rings are unsubstituted or substituted by lower alkyl, halogen, hydroxy or lower alkoxy;

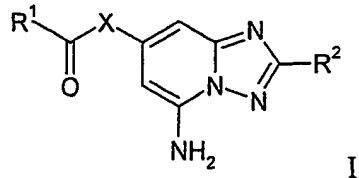
X is a bond or $-N(R)CH_2-$;

R is hydrogen or lower alkyl;

n is 0, 1, 2, 3, 4, 5 or 6;

and their pharmaceutically acceptable salts.

2. A compound of the general formula



I

wherein

R^1 is lower alkoxy, cycloalkyl or aryl, unsubstituted or substituted by halogen or lower alkoxy,
or is –NR'R", wherein R' and R" are independently from each other
hydrogen, lower alkyl, lower alkenyl, lower alkinyl, $-(CR_2)_n$-aryl, unsubstituted or substituted by one to three substituents, selected from the group, consisting of halogen or lower alkoxy, or are $-(CH_2)_{n+1}NR_2$, $-(CH_2)_n$-pyridinyl, $-(CH_2)_n$-indanyl, $-(CH_2)_n$-cycloalkyl, $-(CH_2)_n$-O-lower alkyl, $-(CH_2)_n-CF_3$,
or
R' and R" are together with the N atom to which they are attached pyrrolidin-1-yl, piperidin-1-yl, 3,4-dihydro-1H-isoquinolin-2-yl, morpholinyl, azatidin-1-yl, 3,6-dihydro-2H-pyridin-1-yl, thiomorpholinyl, 2,5-dihydro-pyrrol-1-yl, thiazolidin-3-yl, piperazinyl, azocan-1-yl, octahydroquinolin-1-yl, octahydroquinolin-2-yl, 1,3,4,9-tetrahydro-b-carbolin-2-yl, which rings may be unsubstituted or substituted by one to three substituents, selected from the group, consisting of lower alkyl, phenyl, benzyl or pyridyl;

R^2 is aryl or a 5 or 6 membered heteroaryl group, which rings are unsubstituted or substituted by lower alkyl, halogen, hydroxy or lower alkoxy;

X is a bond or $-N(R)CH_2-$;

R is hydrogen or lower alkyl;

n is 0, 1, 2, 3, 4, 5 or 6;

and their pharmaceutically acceptable salts.

3. A compound of formula I in accordance with claims 1 and 2, wherein X is a bond.

4. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkyl, lower alkenyl, lower alkinyl, $-(CH_2)_n-C(O)-N(CH_3)_2$, $-(CH_2)_n-OCH_3$, $-(CH_2)_n$-cycloalkyl or $-(CH_2)_n$-pyridin-2-yl and R^2 is furyl or thiophenyl, unsubstituted or substituted by halogen or lower alkyl.

5. A compound of formula I in accordance with claim 4, which is

5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide,
5-amino-2-(5-methyl-thiophen-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide,
5-amino-2-(5-methyl-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide,
5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone
5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide,
5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-isopropyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid

diallylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisopropylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-ethyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-pentyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-dimethylamino-ethyl)-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-(2-pyridin-2-yl-ethyl)-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclohexyl-ethyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-pyridin-2-yl-ethyl)-amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid diethylamide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dimethylcarbamoylmethyl-methyl-amide,
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid (2-methoxy-ethyl)-methyl-amide or
5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-(2-methoxy-ethyl)-amide.

6. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkyl, lower alkenyl, lower alkinyl, $-(CH_2)_n$-phenyl or $-(CH_2)_n$-pyridinyl and R^2 is thiazolyl.

7. A compound of formula I in accordance with claim 6, which is

5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diethylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-prop-2-ynyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid methyl-propyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid isopropyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid butyl-methyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-ylmethyl-amide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethylamide,
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dipropylamide or
5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diisobutylamide.

8. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidinyl, piperidinyl, morpholinyl, 3,6-dihydro-2H-pyridin-1-yl, 2,5-dihydro-pyrrol-1-yl, azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, lower alkoxy, $-C(O)NH_2$, $-C(O)N(CH_3)_2$, $-N(CH_3)-C(O)-CH_3$ and R^2 is furyl unsubstituted or substituted by halogen.

9. A compound of formula I in accordance with claim 8 , which is

[5-Amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-pyrrolidin-1-yl-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-piperidin-1-yl-

methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone,
(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-morpholin-4-yl-methanone,
[5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,6-dihydro-2H-pyridin-1-yl)-methanone,
[5-amino-2-(5-chloro-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dihydro-pyrrol-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-2,5-dihydro-pyrrol-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,5-dimethyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2,6-dimethyl-morpholin-4-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(2-methyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(4-methyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-azocan-1-yl-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(3,5-dimethyl-piperidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-[(2R,5R)-trans-2,5-dimethyl-pyrrolidin-1-yl]-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(cis-2,6-dimethyl-morpholin-4-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(S-2-methoxymethyl-pyrrolidin-1-yl)-methanone,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(R-2-methoxymethyl-pyrrolidin-1-yl)-methanone,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-L-pyrrolidine-2-carboxylic acid amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-D-pyrrolidine-2-carboxylic acid amide,
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-

pyrrolidine-2-carboxylic acid dimethylamide,
N-{1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-pyrrolidin-3-yl}-N-methyl-acetamide,
[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridin-7-yl]-(5-ethyl-2-methyl-piperidin-1-yl)-methanone or
1-[5-amino-2-(5-bromo-furan-2-yl)-[1,2,4]triazolo[1,5-a]pyridine-7-carbonyl]-piperidine-3-carboxylic acid amide.

10. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidinyl, piperidinyl, octahydroquinolin-1-yl, 2,5-dihydro-pyrrol-1-yl, thiazolidinyl, thiazolyl, azepan-1-yl or azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, and R^2 is thiazolyl.

11. A compound of formula I in acoordance with claim 10, which is

(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-pyrrolidin-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-piperidin-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,5-dihydro-pyrrol-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-thiazolidin-3-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(4-methyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2,6-dimethyl-piperidin-1-yl)-methanone,
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(cis-2,6-dimethyl-piperidin-1-yl)-methanone or
(5-amino-2-thiazol-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(octahydro-quinolin-1-yl)-methanone.

12. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are together with the N atom to which they are attached pyrrolidin-1-yl, azepan-1-yl, piperidin-1-yl, azocan-1-yl, and wherein the rings may be unsubstituted or substituted by lower alkyl, lower alkoxy and R^2 is pyridyl.

13. A compound of formula I according to claim 12, wherein the compound is
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-pyrrolidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azepan-1-yl-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(2-methyl-piperidin-1-yl)-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-azocan-1-yl-methanone,
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-(3,5-dimethyl-piperidin-1-yl)-methanone or
(5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridin-7-yl)-R-2-methoxymethyl-pyrrolidin-1-yl)-methanone.

14. A compound of formula I in accordance with claim 3, wherein R^1 is –NR'R" and R' and R" are independently from each other lower alkenyl, lower alkyl, $-(CH_2)_n$-cycloalkyl, $-(CH_2)_n$-pyridinyl or $-(CH_2)_n$-phenyl and R^2 is pyridyl.

15. A compound of formula I according to claim 14, wherein the compound is
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid diallylamide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid cyclopropylmethyl-propyl-amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid allyl-cyclopentyl-amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid ethyl-pyridin-4-yl-methyl-amide,
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid benzyl-isopropyl-amide or
5-amino-2-pyridin-2-yl-[1,2,4]triazolo[1,5-a]pyridine-7-carboxylic acid dibenzylamide.

16. A compound of formula I according to claims 1 and 2, wherein X is $-N(R)CH_2-$.

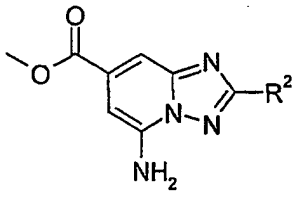
17. A compound of formula I according to claim 16, wherein R^1 is cycloalkyl or aryl, unsubstituted or substituted by halogen and R^2 is furyl, unsubstituted or substituted by halogen or methyl, or is thiazolyl.

18. A medicament containing one or more compounds of formula I as claimed in any one of claims 1 – 17 and pharmaceutically acceptable excipients.

19. A medicament according to claim 18 for the treatment of diseases related to the adenosine receptor.

20. A process for preparing a compound of formula I as defined in claims 1 and 2, which process comprises

a) reacting a compound of formula

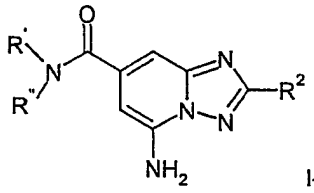


I-1

with a compound of formula

HNR'R" II

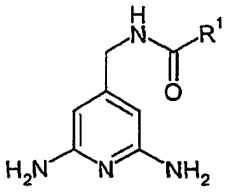
to a compound of formula



I-2

wherein R^1, R^2 and R' and R" have the significances given above, or

b) reacting a compound of formula

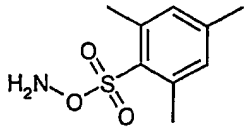


III

with a compound of formula

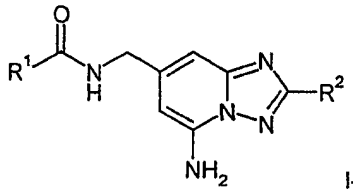
R^2CHO V

in the presence of a compound of formula



IV

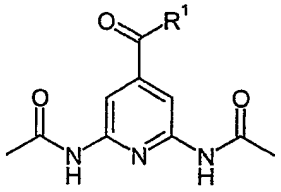
to give a compound of formula



I-3

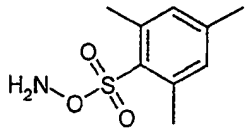
wherein R^1 and R^2 are defined above, or

c) reacting a compound of formula



VI

with HCl and then with a compound of formula

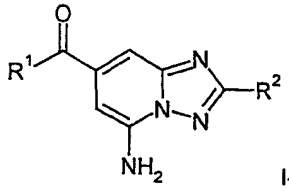


IV

and with a compound of formula

R^2CHO V

to a compound of formula



I-4

wherein R^1 and R^2 have the significances given above

or

d) modifying one or more substituents R^1 or R^2 within the definitions given above,

and

if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts.

21. A compound according to any one of claims 1 – 17, whenever prepared by a process as claimed in claim 20 or by an equivalent method.

22. The use of a compound in any one of claims 1 – 17 for the treatment of diseases.

23. The use of a compound in any one of claims 1 – 17 for the manufacture of corresponding medicaments for the treatment of diseases related to the adenosine A_{2A} receptor.

24. The invention as hereinbefore described.

In tional Application No
PCT/EP 01/14399

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D471/04 A61K31/437 A61P25/16 //(C07D471/04,249:00, 221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
BEILSTEIN Data, CHEM ABS Data, EPO-Internal, WPI Data, PAJ, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 01 17999 A (HOFFMANN LA ROCHE) 15 March 2001 (2001-03-15) page 2, line 24 - line 25; claim 1	1-24
Y	WO 94 14812 A (ZENECA LTD) 7 July 1994 (1994-07-07) abstract; claim 1	1-24
Y	WO 99 43678 A (ICHIMURA MICHIO ;NAKAMURA AKIKO (JP); SHIMADA JUNICHI (JP); SHIOZA) 2 September 1999 (1999-09-02) abstract	1-24

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
3 April 2002	11/04/2002

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Seelmann, I

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0117999 A	15-03-2001	AU 7511000 A	10-04-2001
		WO 0117999 A2	15-03-2001
		US 6355653 B1	12-03-2002
WO 9414812 A	07-07-1994	AU 5656494 A	19-07-1994
		CN 1093708 A	19-10-1994
		WO 9414812 A1	07-07-1994
		HR 931512 A1	31-12-1994
		MX 9400128 A1	29-07-1994
		SI 9300674 A	30-06-1994
		US 5356894 A	18-10-1994
		ZA 9309045 A	22-06-1994
WO 9943678 A	02-09-1999	AU 2639299 A	15-09-1999
		WO 9943678 A1	02-09-1999